11549293

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* * * * * * * * * * Welcome to STN International * * * * * * * * * *

| | | |
|---|---|---|
| NEWS 1 | | Web Page for STN Seminar Schedule - N. America |
| NEWS 2 | AUG 06 | CAS REGISTRY enhanced with new experimental property tags |
| NEWS 3 | AUG 06 | FSTA enhanced with new thesaurus edition |
| NEWS 4 | AUG 13 | CA/CAplus enhanced with additional kind codes for granted patents |
| NEWS 5 | AUG 20 | CA/CAplus enhanced with CAS indexing in pre-1907 records |
| NEWS 6 | AUG 27 | Full-text patent databases enhanced with predefined patent family display formats from INPADOCDB |
| NEWS 7 | AUG 27 | USPATOLD now available on STN |
| NEWS 8 | AUG 28 | CAS REGISTRY enhanced with additional experimental spectral property data |
| NEWS 9 | SEP 07 | STN AnaVist, Version 2.0, now available with Derwent World Patents Index |
| NEWS 10 | SEP 13 | FORIS renamed to SOFIS |
| NEWS 11 | SEP 13 | INPADOCDB enhanced with monthly SDI frequency |
| NEWS 12 | SEP 17 | CA/CAplus enhanced with printed CA page images from 1967-1998 |
| NEWS 13 | SEP 17 | CAplus coverage extended to include traditional medicine patents |
| NEWS 14 | SEP 24 | EMBASE, EMBAL, and LEMBASE reloaded with enhancements |
| NEWS 15 | OCT 02 | CA/CAplus enhanced with pre-1907 records from Chemisches Zentralblatt |
| NEWS 16 | OCT 19 | BEILSTEIN updated with new compounds |
| NEWS 17 | NOV 15 | Derwent Indian patent publication number format enhanced |
| NEWS 18 | NOV 19 | WPIX enhanced with XML display format |
| NEWS 19 | NOV 30 | ICSD reloaded with enhancements |
| NEWS 20 | DEC 04 | LINPADOCDB now available on STN |
| NEWS 21 | DEC 14 | BEILSTEIN pricing structure to change |
| NEWS 22 | DEC 17 | USPATOLD added to additional database clusters |
| NEWS 23 | DEC 17 | IMSDRUGCONF removed from database clusters and STN |
| NEWS 24 | DEC 17 | DGENE now includes more than 10 million sequences |
| NEWS 25 | DEC 17 | TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment |
| NEWS 26 | DEC 17 | MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary |
| NEWS 27 | DEC 17 | CA/CAplus enhanced with new custom IPC display formats |
| NEWS 28 | DEC 17 | STN Viewer enhanced with full-text patent content from USPATOLD |
| NEWS 29 | JAN 02 | STN pricing information for 2008 now available |
| NEWS 30 | JAN 16 | CAS patent coverage enhanced to include exemplified prophetic substances |
| NEWS 31 | JAN 28 | USPATFULL, USPAT2, and USPATOLD enhanced with new |

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                  custom IPC display formats
 NEWS 32  JAN 28  MARPAT searching enhanced
 NEWS 33  JAN 28  USGENE now provides USPTO sequence data within 3 days
                  of publication
 NEWS 34  JAN 28  TOXCENTER enhanced with reloaded MEDLINE segment
 NEWS 35  JAN 28  MEDLINE and LMEDLINE reloaded with enhancements
 NEWS 36  FEB 08  STN Express, Version 8.3, now available


 NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3,
              AND CURRENT DISCOVER FILE IS DATED 24 JANUARY 2008

 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS LOGIN    Welcome Banner and News Items
 NEWS IPC8     For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that
specific topic.

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* * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 10:59:57 ON 14 FEB 2008

=>
Uploading
THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Do you want to switch to the Registry File?
Choice (Y/n):
Switching to the Registry File...
Some commands only work in certain files.  For example, the EXPAND
command can only be used to look at the index in a file which has an
index.  Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of
commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS                            SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                                 0.21       0.21

FILE 'REGISTRY' ENTERED AT 11:00:26 ON 14 FEB 2008
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Property values tagged with IC are from the ZIC/VINITI data file
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STRUCTURE FILE UPDATES:   13 FEB 2008  HIGHEST RN 1003293-96-6
DICTIONARY FILE UPDATES:  13 FEB 2008  HIGHEST RN 1003293-96-6
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New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 29, 2007

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/support/stngen/stndoc/properties.html

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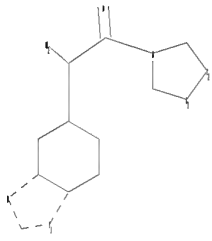
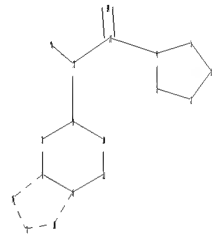




chain nodes :
12 13 14 15
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 16 17 18
chain bonds :
4-13 9-12 12-13 12-15 13-14
ring bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-11 6-18 7-8 7-16 8-9 9-10 10-11 16-17 17-18

exact/norm bonds :
1-2 1-5 2-3 3-4 4-5 4-13 6-7 6-11 6-18 7-8 7-16 8-9 9-10 9-12 10-11
12-13 12-15 13-14 16-17 17-18
isolated ring systems :
containing 1 : 6 :

G1:O,S,N,NH

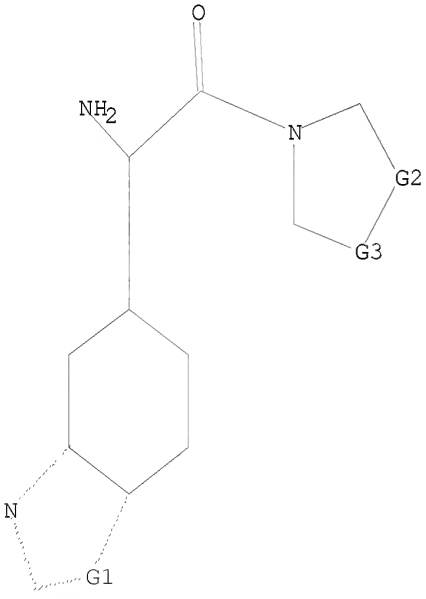
G2:CH2,CH,CF2,CF3

G3:CH2,CF2,CF3,SO2,SO3H,S

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:Atom 17:Atom 18:Atom

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR




G1 O,S,N,NH
G2 CH2,CH,CF2,CF3
G3 CH2,CF2,CF3,SO2,SO3H,S

Structure attributes must be viewed using STN Express query preparation.

```
=> s l1
SAMPLE SEARCH INITIATED 11:00:48 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -        9 TO ITERATE

100.0% PROCESSED        9 ITERATIONS                                  0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            9 TO      360
PROJECTED ANSWERS:               0 TO        0

L2            0 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 11:00:55 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      161 TO ITERATE

100.0% PROCESSED      161 ITERATIONS                                  7 ANSWERS
SEARCH TIME: 00.00.01

L3            7 SEA SSS FUL L1

=> FIL HCAPLUS
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     178.36     178.57

FILE 'HCAPLUS' ENTERED AT 11:01:00 ON 14 FEB 2008
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FILE LAST UPDATED: 13 Feb 2008  (20080213/ED)

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 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

=> s l3
L4            5 L3

=> s l4 and py<=2003
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23976331 PY<=2003
L5 5 L4 AND PY<=2003

=> d l4 ibib abs hitstr tot

L4 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:2864 HCAPLUS
DOCUMENT NUMBER: 140:59935
TITLE: Preparation of crystalline (R)-2-(4-amidinophenylaminomethyl)-1-methyl-5-(1-(carboxymethylamino)-1(pyrrolidinocarbonyl)-ethyl)-benzimidazole esters and/or salts for use as antithrombotic agents
INVENTOR(S): Linz, Guenter; Sieger, Peter; Schreiner, Gunnar; Rall, Werner; Schmid, Rolf
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma Gmbh & Co. Kg, Germany
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004000818 | A1 | 20031231 | WO 2003-EP6317 | 20030616 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| DE 10227666 | A1 | 20040108 | DE 2002-10227666 | 20020620 |
| CA 2485545 | A1 | 20031231 | CA 2003-2485545 | 20030616 |
| AU 2003237945 | A1 | 20040106 | AU 2003-237945 | 20030616 |
| EP 1529035 | A1 | 20050511 | EP 2003-735629 | 20030616 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| JP 2006508037 | T | 20060309 | JP 2004-514726 | 20030616 |
| US 2004010026 | A1 | 20040115 | US 2003-463033 | 20030617 |
| US 7169934 | B2 | 20070130 | | |
| US 2007099974 | A1 | 20070503 | US 2006-610187 | 20061213 |
| US 7294721 | B2 | 20071113 | | |

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|---|---|---|---|
| PRIORITY APPLN. INFO.: | DE 2002-10227666 | A | 20020620 |
| | US 2002-395188P | P | 20020711 |
| | WO 2003-EP6317 | W | 20030616 |
| | US 2003-463033 | A3 | 20030617 |

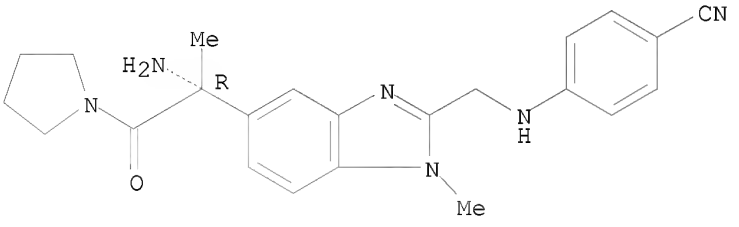
OTHER SOURCE(S): MARPAT 140:59935
AB The invention relates to the crystalline forms of compds. (R)-2-(4-amidinophenylaminomethyl)-1-methyl-5-(1-(carboxymethylamino)-1-(pyrrolidinocarbonyl)-ethyl)-benzimidazole and monohydrochloride thereof, to methods for the production thereof, and to their use as medicaments having an antithrombotic action (no data). Thus, (R)-2-(4-

cyanophenylaminomethyl)-1-methyl-5-[1-amino-1-(pyrrolidineocarbonyl)-ethyl]benzimidazole was simultaneously N-alkylated and CN-reduced/aminated using BrCH2C(O)OCH2CH2CH3 in N-methylpyyolidinone, Pr acetate, and diisopropyethylamine; the resulting ester product was first isolated as the hydrochloride, and then as the 4-toluenesulfonic acid salt, which was deesterified to give the free base. The Et ester was similarly prepared Crystal structure data were given for the free base and the monohydrochloride forms.

IT 253797-00-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and x-ray crystallog. structure of 2-(4-amidinophenylaminomethyl))-1-methyl-5-(1-(carboxymethylamino)benzimidazole esters and/or salts for use as antithrombotic agents)

RN 253797-00-1 HCAPLUS
CN Pyrrolidine, 1-[(2R)-2-amino-2-[2-[[(4-cyanophenyl)amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:2693 HCAPLUS
DOCUMENT NUMBER: 140:53413
TITLE: Benzimidazole derivatives for the treatment of systemic inflammatory response syndrome
INVENTOR(S): Ries, Uwe; Wienen, Wolfgang; Schuly, Uwe
PATENT ASSIGNEE(S): Boehringer Ingelheim, Germany
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004000310 | A1 | 20031231 | WO 2003-EP6318 | 20030616 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,

FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

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| DE 10227668 | A1 | 20040108 | DE 2002-10227668 | 20020620 |
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| AU 2003278945 | A1 | 20040106 | AU 2003-278945 | 20030616 |
| EP 1517687 | A1 | 20050330 | EP 2003-740255 | 20030616 |
| EP 1517687 | B1 | 20070620 | | |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

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|---|---|---|---|---|
| JP 2006514603 | T | 20060511 | JP 2004-514727 | 20030616 |
| AT 365038 | T | 20070715 | AT 2003-740255 | 20030616 |
| ES 2289305 | T3 | 20080201 | ES 2003-740255 | 20030616 |
| US 2004023975 | A1 | 20040205 | US 2003-600055 | 20030620 |

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| PRIORITY APPLN. INFO.: | DE 2002-10227668 | A | 20020620 |
| | US 2002-400166P | P | 20020801 |
| | WO 2003-EP6318 | W | 20030616 |

OTHER SOURCE(S): MARPAT 140:53413

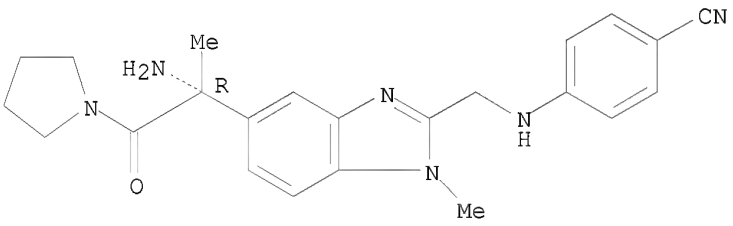
AB The invention discloses the use of benzimidazole derivs. for producing a medicament used for treating the systemic inflammatory response syndrome and particularly sepsis. Preparation of (R)-2-(4-amidinophenylaminomethyl)-1-methyl-5-[1-(carboxymethylamino)-1-(pyrrolidinocarbonyl)ethyl]benzimidazole hydrochloride is described.

IT 253797-00-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(benzimidazole derivs. for treatment of systemic inflammatory response syndrome)

RN 253797-00-1 HCAPLUS

CN Pyrrolidine, 1-[(2R)-2-amino-2-[2-[[(4-cyanophenyl)amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2008 ACS on STN

| | |
|---|---|
| ACCESSION NUMBER: | 2001:467997 HCAPLUS |
| DOCUMENT NUMBER: | 135:61338 |
| TITLE: | Preparation of 2-(4-amidinophenylaminomethyl)benzimidazoles as antithrombotics |
| INVENTOR(S): | Ries, Uwe; Kauffmann, Iris; Hauel, Norbert; Priepke, Henning; Nar, Herbert; Stassen, Jean Marie; Wienen, Wolfgang |
| PATENT ASSIGNEE(S): | Boehringer Ingelheim Pharma K.-G., Germany |
| SOURCE: | Ger. Offen., 28 pp.<br>CODEN: GWXXBX |

DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 19962329 | A1 | 20010628 | DE 1999-19962329 | 19991223 |
| US 2001006977 | A1 | 20010705 | US 2000-735159 | 20001212 |
| US 6451832 | B2 | 20020917 | | |
| CA 2393916 | A1 | 20010705 | CA 2000-2393916 | 20001216 |
| WO 2001047896 | A1 | 20010705 | WO 2000-EP12841 | 20001216 |
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| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1244636 | A1 | 20021002 | EP 2000-983342 | 20001216 |
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| JP 2003519129 | T | 20030617 | JP 2001-549368 | 20001216 |
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| US 2003004356 | A1 | 20030102 | US 2002-188952 | 20020703 |
| US 6593355 | B2 | 20030715 | | |

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| | US 2000-175163P | P | 20000107 |
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| | WO 2000-EP12841 | W | 20001216 |

OTHER SOURCE(S): MARPAT 135:61338
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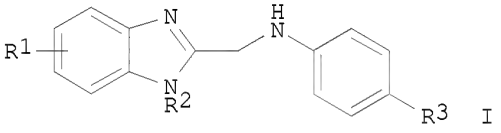


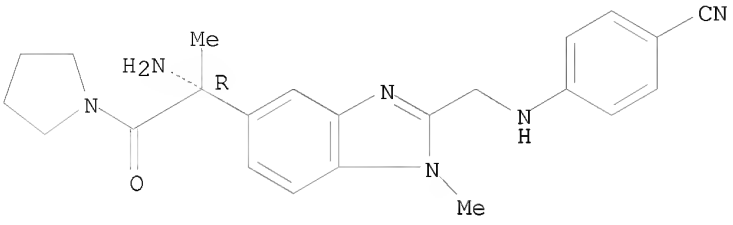

I

AB Title compds. [I; R1 = alkyl, fluoroalkyl, pyrrolidinocarbonylalkyl, 2,5-dihydropyrrolocarbonylalkyl, aminoalkyl, etc., R2 = alkyl; R3 = carbamidoyl, cyano, (substituted) oxadiazolyl, etc.] and stereoisomerics thereof were prepared .Thus, (R)-2-(4-amidinophenylaminomethyl)-1-methyl-5-[1-(ethoxycarbonylmethylamino)-1-[(R,S)-2-methylpyrrolidinocarbonyl]ethyl] benzimidazole dihydrochloride in H2O was stirred with NaOH for 45 min. at room temperature followed by addition of HCl up to pH = 3.5 to give 100% (R)-2-(4-amidinophenylaminomethyl)-1-methyl-5-[1-(carboxymethylamino)-1-[(R,S)-2-methylpyrrolidinocarbonyl]ethyl]benzimidazole dihydrochloride. Several I extended (doubled) the activated partial thromboplastin time (aPTT) with ED200 = 0.12-0.22 μM.

IT 253797-00-1P 345957-57-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

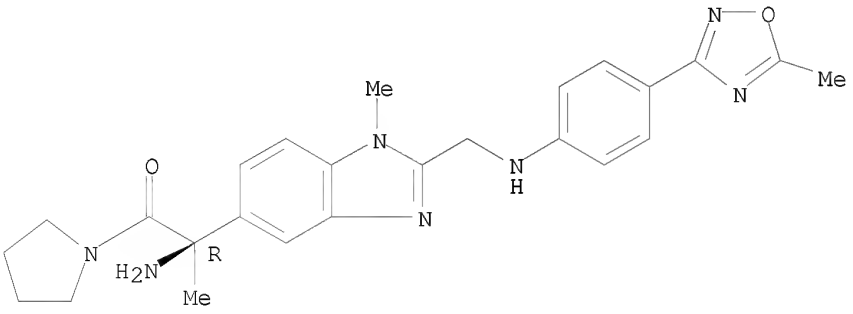
(Reactant or reagent)
(preparation of amidinophenylaminomethylbenzimidazoles as antithrombotics)
RN 253797-00-1 HCAPLUS
CN Pyrrolidine, 1-[(2R)-2-amino-2-[2-[[(4-cyanophenyl)amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




RN 345957-57-5 HCAPLUS
CN Pyrrolidine, 1-[(2R)-2-amino-2-[1-methyl-2-[[[4-(5-methyl-1,2,4-oxadiazol-3-yl)phenyl]amino]methyl]-1H-benzimidazol-5-yl]-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




L4 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:34881 HCAPLUS
DOCUMENT NUMBER: 132:78556
TITLE: Preparation of amidinophenylaminomethylenzimidazoles as antithrombotics.
INVENTOR(S): Ries, Uwe; Kauffmann, Iris; Hauel, Norbert; Priepke, Henning; Nar, Herbert; Stassen, Jean Marie; Wienen, Wolfgang
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
SOURCE: PCT Int. Appl., 140 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| | | | | |
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| WO 2000001704 | A2 | 20000113 | WO 1999-EP4531 | 19990701 |
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| DE 19857202 | A1 | 20000615 | DE 1998-19857202 | 19981211 |
| DE 19912690 | A1 | 20000921 | DE 1999-19912690 | 19990320 |
| CA 2337804 | A1 | 20000113 | CA 1999-2337804 | 19990701 |
| AU 9949033 | A | 20000124 | AU 1999-49033 | 19990701 |
| AU 763094 | B2 | 20030710 | | |
| BR 9911826 | A | 20010327 | BR 1999-11826 | 19990701 |
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| EE 200100009 | A | 20020617 | EE 2001-9 | 19990701 |
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| NZ 509625 | A | 20030829 | NZ 1999-509625 | 19990701 |
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| HR 2001000007 | A1 | 20011231 | HR 2001-7 | 20010103 |
| HR 2001000007 | B1 | 20030430 | | |
| HK 1036976 | A1 | 20041119 | HK 2001-107199 | 20011015 |

PRIORITY APPLN. INFO.:

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| DE 1998-19857202 | A | 19981211 |
| DE 1999-19912690 | A | 19990320 |
| WO 1999-EP4531 | W | 19990701 |

OTHER SOURCE(S): MARPAT 132:78556

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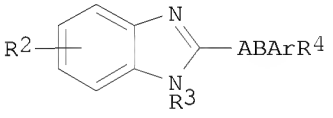


I

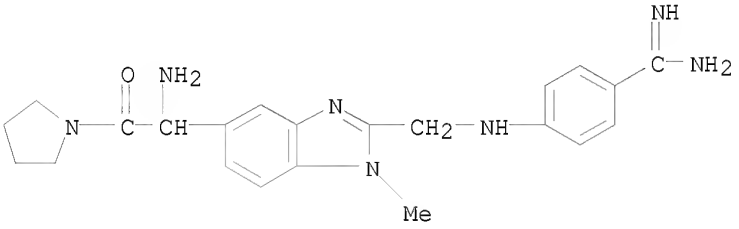
AB Title compds. [I; Ar = (substituted) phenylene, naphthylene, thienylene, thiazolylene, pyridinylene, pyrazinylene, pyrimidinylene, pyridazinylene; A = alkylene; B = O, S, CH2, CO, imino, SO, SO2; R2 = R1COX, etc.; R1 = alkoxy, amino, alkylamino, dialkylamino, etc.; X = cycloalkylene; R3 = H, alkyl; R4 = cyano, (substituted) amidino], were prepared Thus, 2-(4-amidinophenylaminomethyl)-1-methyl-5-[1-(pyrrolidin-1-ylcarbonyl)cyclopropyl]benzimidazole hydrochloride (multistep preparation

given) showed aPTT (partial thrombin time) ED200 = 0.12 μM.

IT 253430-83-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amidinophenylaminomethylenzimidazoles as antithrombotics)

RN 253430-83-0 HCAPLUS

CN Pyrrolidine, 1-[amino[2-[[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]acetyl]-, monohydrochloride (9CI) (CA INDEX NAME)

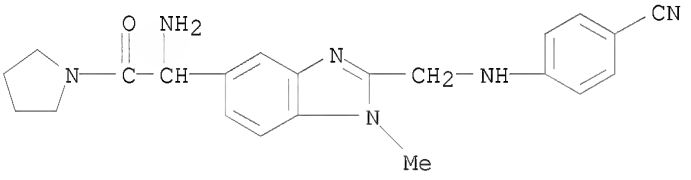


● HCl

IT 253431-62-8P 253431-65-1P 253796-87-1P
253797-00-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amidinophenylaminomethylenzimidazoles as antithrombotics)

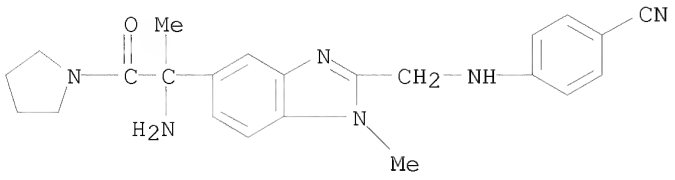
RN 253431-62-8 HCAPLUS

CN Pyrrolidine, 1-[amino[2-[[(4-cyanophenyl)amino]methyl]-1-methyl-1H-benzimidazol-5-yl]acetyl]- (9CI) (CA INDEX NAME)

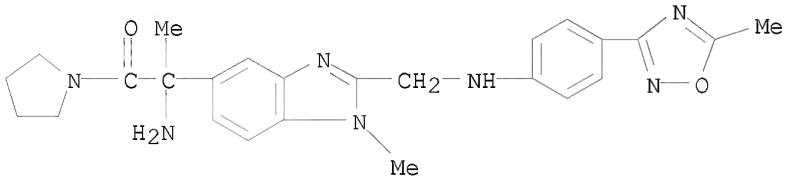


RN 253431-65-1 HCAPLUS

CN Pyrrolidine, 1-[2-amino-2-[2-[[(4-cyanophenyl)amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-1-oxopropyl]- (9CI) (CA INDEX NAME)

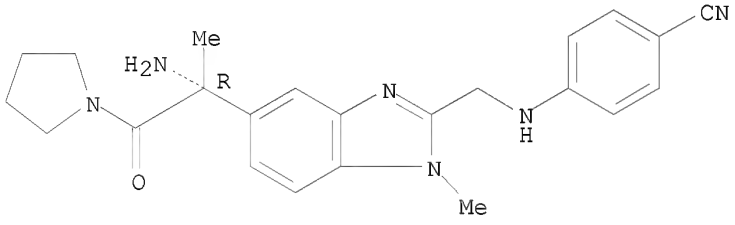


RN 253796-87-1 HCAPLUS
CN Pyrrolidine, 1-[2-amino-2-[1-methyl-2-[[[4-(5-methyl-1,2,4-oxadiazol-3-yl)phenyl]amino]methyl]-1H-benzimidazol-5-yl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



RN 253797-00-1 HCAPLUS
CN Pyrrolidine, 1-[(2R)-2-amino-2-[2-[[(4-cyanophenyl)amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:15648 HCAPLUS
DOCUMENT NUMBER: 132:64257
TITLE: Preparation of amidinophenylaminomethylbenzimidazoles and related compounds as antithrombotics.
INVENTOR(S): Ries, Uwe; Kauffmann, Iris; Hauel, Norbert; Priepke, Henning; Nar, Herbert; Stassen, Jean Marie; Wienen, Wolfgang
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
SOURCE: Ger. Offen., 38 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 19829964 | A1 | 20000105 | DE 1998-19829964 | 19980704 |
| US 6248770 | B1 | 20010619 | US 1999-338970 | 19990624 |
| TW 248435 | B | 20060201 | TW 1999-88110926 | 19990629 |
| CA 2337804 | A1 | 20000113 | CA 1999-2337804 | 19990701 |
| WO 2000001704 | A2 | 20000113 | WO 1999-EP4531 | 19990701 |
| WO 2000001704 | A3 | 20000406 | | |
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| AU 9949033 | A | 20000124 | AU 1999-49033 | 19990701 |
| AU 763094 | B2 | 20030710 | | |
| BR 9911826 | A | 20010327 | BR 1999-11826 | 19990701 |
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| EP 1095025 | B1 | 20021211 | | |
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| TR 200100148 | T2 | 20010921 | TR 2001-148 | 19990701 |
| EE 200100009 | A | 20020617 | EE 2001-9 | 19990701 |
| EE 4236 | B1 | 20040216 | | |
| HU 2002000710 | A2 | 20020629 | HU 2002-710 | 19990701 |
| HU 2002000710 | A3 | 20030528 | | |
| JP 2002519429 | T | 20020702 | JP 2000-558106 | 19990701 |
| AT 229511 | T | 20021215 | AT 1999-932765 | 19990701 |
| PT 1095025 | T | 20030430 | PT 1999-932765 | 19990701 |
| ES 2188192 | T3 | 20030616 | ES 1999-932765 | 19990701 |
| NZ 509625 | A | 20030829 | NZ 1999-509625 | 19990701 |
| SK 283744 | B6 | 20031202 | SK 2001-8 | 19990701 |
| ZA 2000007624 | A | 20010716 | ZA 2000-7624 | 20001219 |
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| BG 105111 | A | 20011231 | BG 2001-105111 | 20010103 |
| HR 2001000007 | A1 | 20011231 | HR 2001-7 | 20010103 |
| HR 2001000007 | B1 | 20030430 | | |
| HK 1036976 | A1 | 20041119 | HK 2001-107199 | 20011015 |

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| | WO 1999-EP4531 | W | 19990701 |

OTHER SOURCE(S): MARPAT 132:64257

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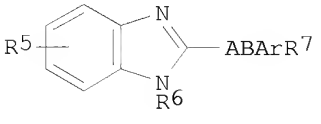


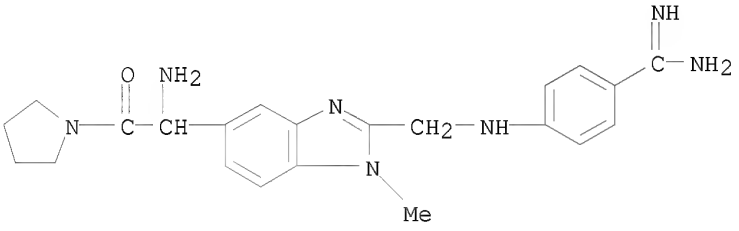

I

AB Title compds. [I; Ar = (substituted) phenylene, naphthylene, thienylene, thiazolylene, pyridinylene, etc.; A = alkylene; B = O, S, CH2, CO, imino, sulfinyl, sulfonyl, etc.; R5 = R1COX; X = cycloalkylene; R1 = (substituted) (di)alkylamino, cycloalkyleneimino, morpholino, piperazino; R5 = H, alkyl; R7 = cyano, (substituted) amidino], were prepared Thus, 2-(4-amidinophenylaminomethyl)-1-methyl-5-[1-(pyrrolidin-1-ylcarbonyl)cyclopropyl]benzimidazole hydrochloride [prepared starting from 1-(4-chlorophenyl)-1-cyclopropanecarboxylic acid] showed aPTT (partial thrombin time) ED200 = 0.12 μM.

IT 253430-83-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amidinophenylaminomethylbenzimidazoles and related compds. as antithrombotics)

RN 253430-83-0 HCAPLUS

CN Pyrrolidine, 1-[amino[2-[[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]acetyl]-, monohydrochloride (9CI) (CA INDEX NAME)

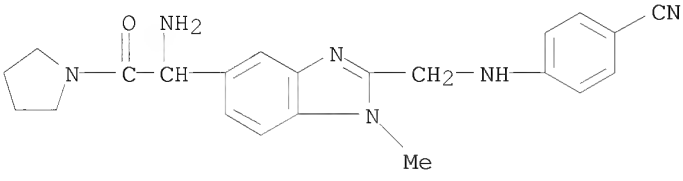



● HCl

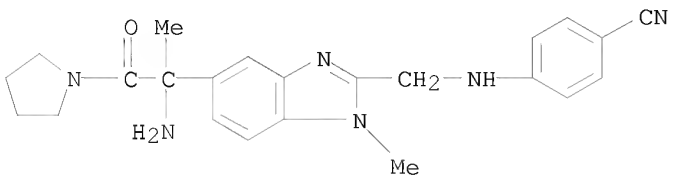
IT 253431-62-8P 253431-65-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amidinophenylaminomethylbenzimidazoles and related compds. as antithrombotics)

RN 253431-62-8 HCAPLUS

CN Pyrrolidine, 1-[amino[2-[[(4-cyanophenyl)amino]methyl]-1-methyl-1H-benzimidazol-5-yl]acetyl]- (9CI) (CA INDEX NAME)



RN 253431-65-1 HCAPLUS
CN Pyrrolidine, 1-[2-amino-2-[2-[[(4-cyanophenyl)amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-1-oxopropyl]- (9CI) (CA INDEX NAME)

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DICTIONARY FILE UPDATES: 13 FEB 2008 HIGHEST RN 1003293-96-6

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http://www.cas.org/support/stngen/stndoc/properties.html

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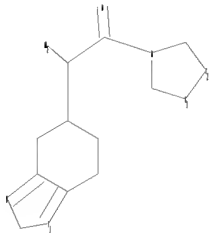

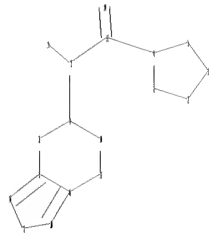

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ring nodes :
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chain bonds :
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ring bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-11 6-18 7-8 7-16 8-9 9-10 10-11 16-17 17-18

exact/norm bonds :
1-2 1-5 2-3 3-4 4-5 4-13 6-7 6-11 6-18 7-8 7-16 8-9 9-10 9-12 10-11
12-13 12-15 13-14 16-17 17-18
isolated ring systems :
containing 1 : 6 :

G1:O,S,N,NH

G2:CH2,CH,CF2,CF3

G3:CH2,CF2,CF3,SO2,SO3H,S

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
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L6 STRUCTURE UPLOADED

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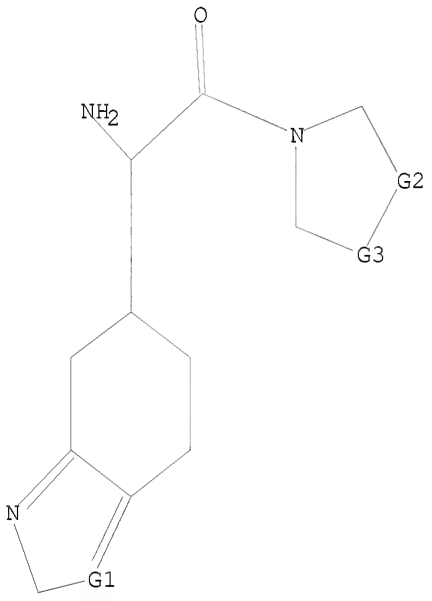
L6 STR




G1 O,S,N,NH

G2 CH2,CH,CF2,CF3

G3 CH2,CF2,CF3,SO2,SO3H,S

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 9 TO ITERATE

100.0% PROCESSED 9 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

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PROJECTED ITERATIONS:            9 TO      360
PROJECTED ANSWERS:               0 TO        0

L7            0 SEA SSS SAM L6

=> s l6 sss full
FULL SEARCH INITIATED 11:06:39 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      161 TO ITERATE

100.0% PROCESSED      161 ITERATIONS                                0 ANSWERS
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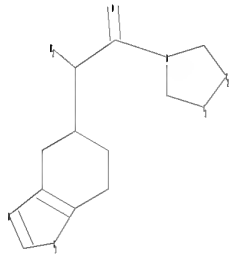

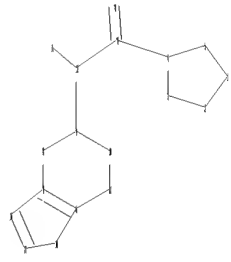

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ring nodes :
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chain bonds :
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ring bonds :
1-2  1-5  2-3  3-4  4-5  6-7  6-11  6-18  7-8  7-16  8-9  9-10  10-11  16-17  17-18

exact/norm bonds :
1-2  1-5  2-3  3-4  4-5  4-13  6-7  6-11  6-18  7-8  7-16  8-9  9-10  9-12  10-11
12-13  12-15  13-14  16-17  17-18
isolated ring systems :
containing 1 : 6 :
```

G1:O,S,N,NH

G2:CH2,CH,CF2,CF3

G3:CH2,CF2,CF3,SO2,SO3H,S

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
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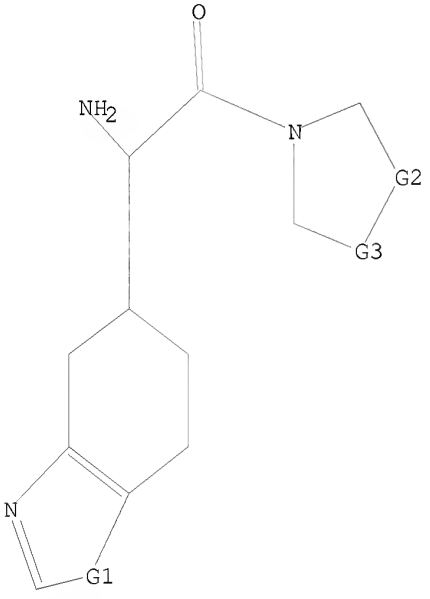
L9 STRUCTURE UPLOADED

=> d l9
L9 HAS NO ANSWERS
L9 STR




G1 O,S,N,NH

G2 CH2,CH,CF2,CF3

G3 CH2,CF2,CF3,SO2,SO3H,S

Structure attributes must be viewed using STN Express query preparation.

=> s l9
SAMPLE SEARCH INITIATED 11:11:29 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 9 TO ITERATE

100.0% PROCESSED 9 ITERATIONS 1 ANSWERS

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SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
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PROJECTED ITERATIONS:            9 TO      360
PROJECTED ANSWERS:               1 TO       80

L10           1 SEA SSS SAM L9

=> s l9 sss full
FULL SEARCH INITIATED 11:11:36 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      161 TO ITERATE

100.0% PROCESSED      161 ITERATIONS                              25 ANSWERS
SEARCH TIME: 00.00.01

L11          25 SEA SSS FUL L9

=> FIL HCAPLUS
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
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FULL ESTIMATED COST                                     359.94     589.97

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
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CA SUBSCRIBER PRICE                                       0.00      -4.00

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 This file contains CAS Registry Numbers for easy and accurate
 substance identification.

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L13           5 L12 AND PY<=2003
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=> s l12 and dipeptidyl peptidase
          4914 DIPEPTIDYL
         14336 PEPTIDASE
          4930 PEPTIDASES
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                (PEPTIDASE OR PEPTIDASES)
          3041 DIPEPTIDYL PEPTIDASE
                (DIPEPTIDYL(W)PEPTIDASE)
L14          1 L12 AND DIPEPTIDYL PEPTIDASE

=> d l12 ibib abs hitstr tot

L12  ANSWER 1 OF 6  HCAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:         2004:1156435  HCAPLUS
DOCUMENT NUMBER:          142:86665
TITLE:                    Cyclohexylglycine derivatives as dipeptidyl peptidase
                          IV inhibitors for the treatment or prevention of
                          diabetes and other dipeptidyl peptidase IV-associated
                          diseases
INVENTOR(S):              Edmondson, Scott D.; Mastracchio, Anthony; Parmee,
                          Emma R.
PATENT ASSIGNEE(S):       Merck & Co., Inc., USA
SOURCE:                   PCT Int. Appl., 54 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE        APPLICATION NO.          DATE
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     WO 2004112701         A2    20041229    WO 2004-US18718          20040610
     WO 2004112701         A3    20050210
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             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
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     AU 2004249163         A1    20041229    AU 2004-249163           20040610
     CA 2527806            A1    20041229    CA 2004-2527806          20040610
     EP 1638950            A2    20060329    EP 2004-755091           20040610
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     CN 1809544            A     20060726    CN 2004-80016974         20040610
     JP 2006528131         T     20061214    JP 2006-517234           20040610
     IN 2005DN05637        A     20080201    IN 2005-DN5637           20051205
     US 2007021477         A1    20070125    US 2005-560771           20051213
PRIORITY APPLN. INFO.:                       US 2003-479246P     P    20030617
                                             WO 2004-US18718     W    20040610
OTHER SOURCE(S):          MARPAT 142:86665
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AB The invention discloses cyclohexylglycine derivs. which are inhibitors of dipeptidyl peptidase IV which are useful in the treatment or prevention of diseases in which dipeptidyl peptidase IV is involved, such as diabetes and particularly type 2 diabetes. The invention also discloses pharmaceutical compns. comprising these compds. and the use of these compds. and compns. in the prevention or treatment of such diseases in which the dipeptidyl peptidase IV enzyme is involved. Preparation of compds. and intermediates is described.

IT 815580-74-6 815580-74-6D, derivs. 815580-75-7 815580-75-7D, derivs. 815580-76-8 815580-76-8D, derivs. 815580-77-9 815580-77-9D, derivs. 815580-79-1 815580-79-1D, derivs. 815580-80-4 815580-80-4D, derivs. 815580-87-1 815580-87-1D, derivs. 815580-88-2 815580-88-2D, derivs. 815580-89-3 815580-89-3D, derivs. 815580-90-6 815580-90-6D, derivs. 815580-91-7 815580-91-7D, derivs. 815580-92-8 815580-92-8D, derivs. 815580-93-9 815580-93-9D, derivs. 815580-94-0 815580-94-0D, derivs. 815580-95-1 815580-95-1D, derivs. 815580-96-2 815580-96-2D, derivs. 815580-97-3 815580-97-3D, derivs. 815580-98-4 815580-98-4D, derivs.
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cyclohexylglycine derivs. as dipeptidyl peptidase IV inhibitors for treatment or prevention of diabetes and other dipeptidyl peptidase IV-associated diseases)

RN 815580-74-6 HCAPLUS
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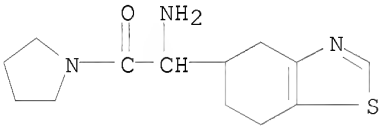

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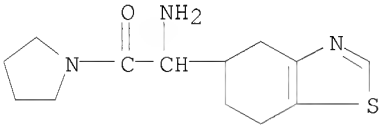

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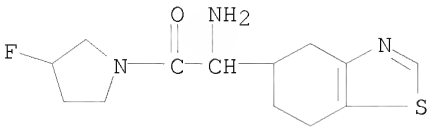

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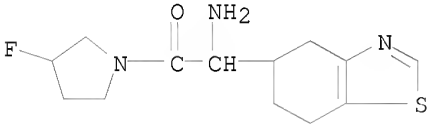

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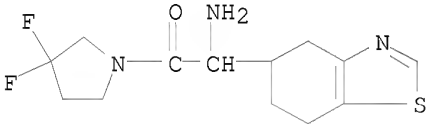

RN 815580-76-8 HCAPLUS
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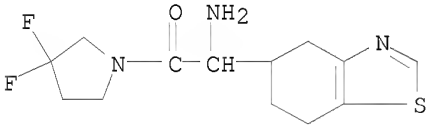

RN 815580-77-9 HCAPLUS
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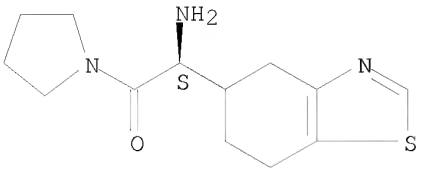
Absolute stereochemistry.



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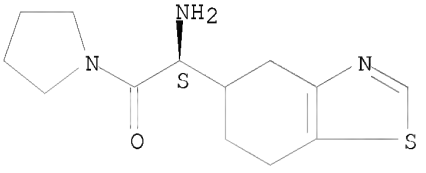
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 815580-79-1 HCAPLUS
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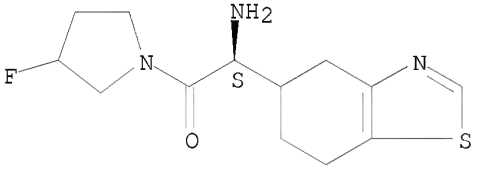
fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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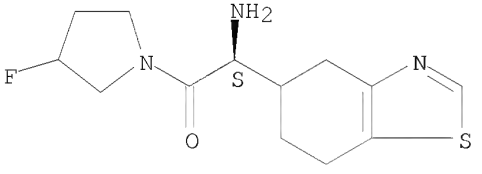
Absolute stereochemistry.



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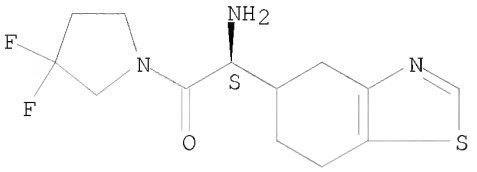
difluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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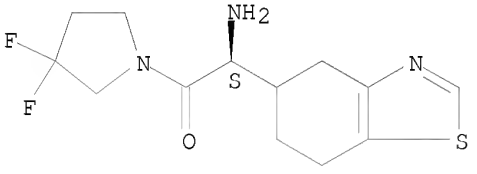
CN Pyrrolidine, 1-[(2S)-amino(4,5,6,7-tetrahydro-5-benzothiazolyl)acetyl]-3,3-difluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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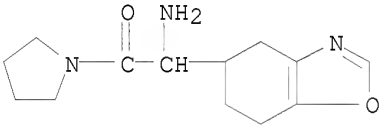

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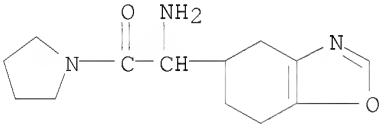

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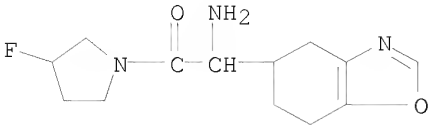

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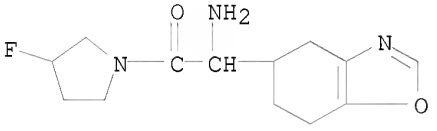

RN 815580-89-3 HCAPLUS
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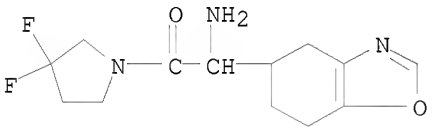

RN 815580-89-3 HCAPLUS
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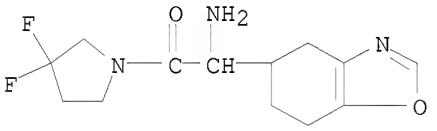

RN 815580-90-6 HCAPLUS
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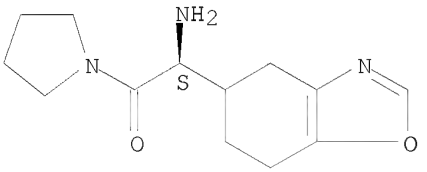
Absolute stereochemistry.



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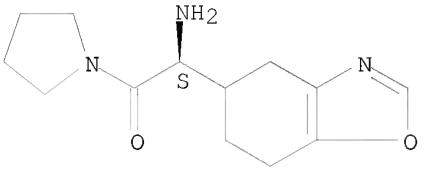
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



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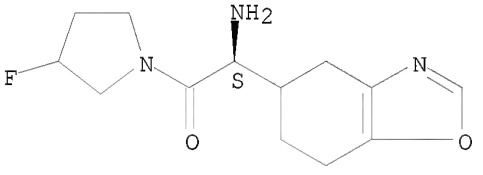
Absolute stereochemistry.



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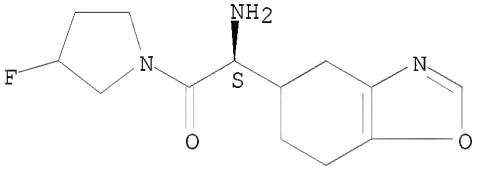
Absolute stereochemistry.



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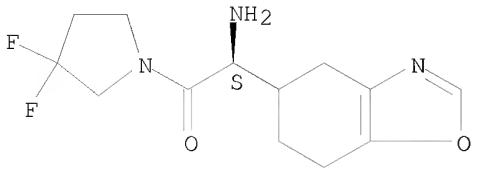
Absolute stereochemistry.



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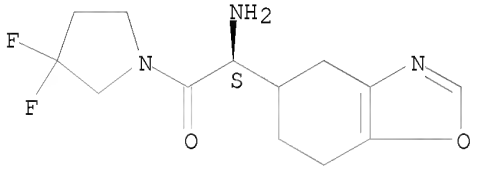
Absolute stereochemistry.



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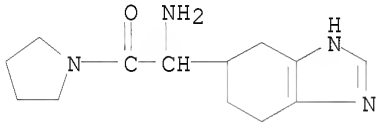

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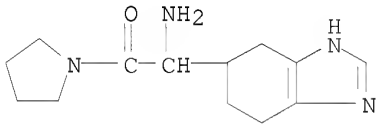

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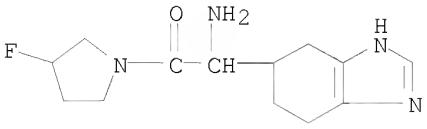

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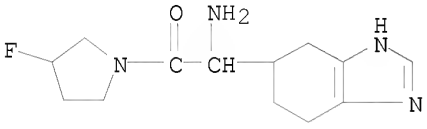

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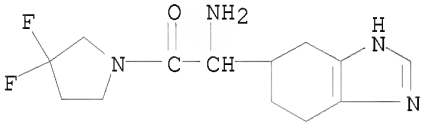

RN 815580-95-1 HCAPLUS
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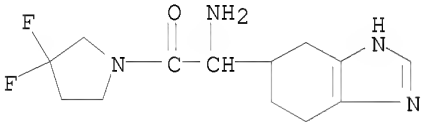

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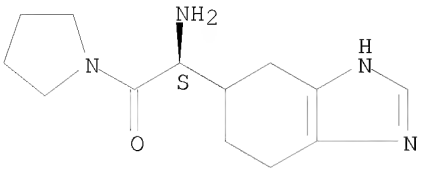
Absolute stereochemistry.



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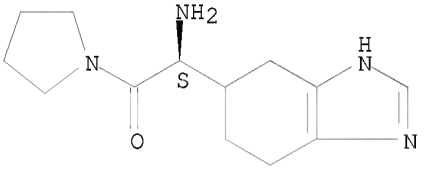
Absolute stereochemistry.



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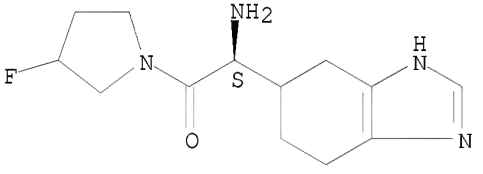
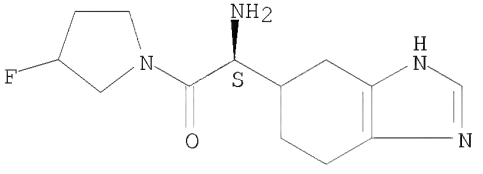
CN Pyrrolidine, 1-[(2S)-amino(4,5,6,7-tetrahydro-1H-benzimidazol-5-yl)acetyl]-3-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 815580-97-3 HCAPLUS
CN Pyrrolidine, 1-[(2S)-amino(4,5,6,7-tetrahydro-1H-benzimidazol-5-yl)acetyl]-3-fluoro- (9CI) (CA INDEX NAME)

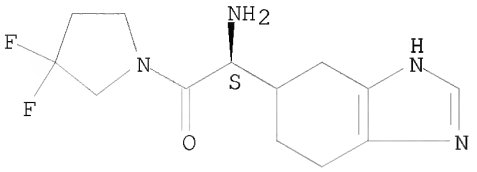
Absolute stereochemistry.



RN 815580-98-4 HCAPLUS
CN Pyrrolidine, 1-[(2S)-amino(4,5,6,7-tetrahydro-1H-benzimidazol-5-yl)acetyl]-

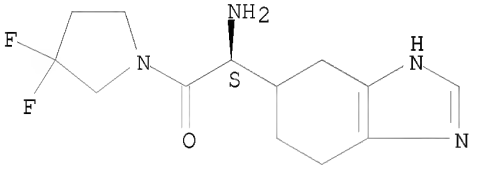
3,3-difluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 815580-98-4 HCAPLUS
CN Pyrrolidine, 1-[(2S)-amino(4,5,6,7-tetrahydro-1H-benzimidazol-5-yl)acetyl]-3,3-difluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:2864 HCAPLUS
DOCUMENT NUMBER: 140:59935
TITLE: Preparation of crystalline (R)-2-(4-amidinophenylaminomethyl)-1-methyl-5-(1-(carboxymethylamino)-1(pyrrolidinocarbonyl)-ethyl)-benzimidazole esters and/or salts for use as antithrombotic agents
INVENTOR(S): Linz, Guenter; Sieger, Peter; Schreiner, Gunnar; Rall, Werner; Schmid, Rolf
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma Gmbh & Co. Kg, Germany
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004000818 | A1 | 20031231 | WO 2003-EP6317 | 20030616 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,

KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

| | | | | | |
|---|---|---|---|---|---|
| DE 10227666 | A1 | 20040108 | DE 2002-10227666 | | 20020620 |
| CA 2485545 | A1 | 20031231 | CA 2003-2485545 | | 20030616 |
| AU 2003237945 | A1 | 20040106 | AU 2003-237945 | | 20030616 |
| EP 1529035 | A1 | 20050511 | EP 2003-735629 | | 20030616 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | | |
| JP 2006508037 | T | 20060309 | JP 2004-514726 | | 20030616 |
| US 2004010026 | A1 | 20040115 | US 2003-463033 | | 20030617 |
| US 7169934 | B2 | 20070130 | | | |
| US 2007099974 | A1 | 20070503 | US 2006-610187 | | 20061213 |
| US 7294721 | B2 | 20071113 | | | |
| PRIORITY APPLN. INFO.: | | | DE 2002-10227666 | A | 20020620 |
| | | | US 2002-395188P | P | 20020711 |
| | | | WO 2003-EP6317 | W | 20030616 |
| | | | US 2003-463033 | A3 | 20030617 |

OTHER SOURCE(S): MARPAT 140:59935

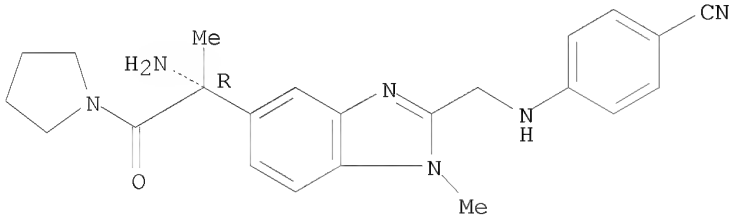
AB The invention relates to the crystalline forms of compds. (R)-2-(4-amidinophenylaminomethyl)-1-methyl-5-(1-(carboxymethylamino)-1-(pyrrolidinocarbonyl)-ethyl)-benzimidazole and monohydrochloride thereof, to methods for the production thereof, and to their use as medicaments having an antithrombotic action (no data). Thus, (R)-2-(4-cyanophenylaminomethyl)-1-methyl-5-[1-amino-1-(pyrrolidineocarbonyl)-ethyl]benzimidazole was simultaneously N-alkylated and CN-reduced/aminated using BrCH2C(O)OCH2CH2CH3 in N-methylpyyolidinone, Pr acetate, and diisopropyethylamine; the resulting ester product was first isolated as the hydrochloride, and then as the 4-toluenesulfonic acid salt, which was deesterified to give the free base. The Et ester was similarly prepared Crystal structure data were given for the free base and the monohydrochloride forms.

IT 253797-00-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and x-ray crystallog. structure of 2-(4-amidinophenylaminomethyl))-1-methyl-5-(1-(carboxymethylamino)benzimidazole esters and/or salts for use as antithrombotic agents)

RN 253797-00-1 HCAPLUS

CN Pyrrolidine, 1-[(2R)-2-amino-2-[2-[[(4-cyanophenyl)amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:2693 HCAPLUS
DOCUMENT NUMBER: 140:53413
TITLE: Benzimidazole derivatives for the treatment of systemic inflammatory response syndrome
INVENTOR(S): Ries, Uwe; Wienen, Wolfgang; Schuly, Uwe
PATENT ASSIGNEE(S): Boehringer Ingelheim, Germany
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|---|---|---|---|---|---|
| WO 2004000310 | A1 | 20031231 | WO 2003-EP6318 | | 20030616 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | | |
| DE 10227668 | A1 | 20040108 | DE 2002-10227668 | | 20020620 |
| CA 2489545 | A1 | 20031231 | CA 2003-2489545 | | 20030616 |
| AU 2003278945 | A1 | 20040106 | AU 2003-278945 | | 20030616 |
| EP 1517687 | A1 | 20050330 | EP 2003-740255 | | 20030616 |
| EP 1517687 | B1 | 20070620 | | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | | |
| JP 2006514603 | T | 20060511 | JP 2004-514727 | | 20030616 |
| AT 365038 | T | 20070715 | AT 2003-740255 | | 20030616 |
| ES 2289305 | T3 | 20080201 | ES 2003-740255 | | 20030616 |
| US 2004023975 | A1 | 20040205 | US 2003-600055 | | 20030620 |
| PRIORITY APPLN. INFO.: | | | DE 2002-10227668 | A | 20020620 |
| | | | US 2002-400166P | P | 20020801 |
| | | | WO 2003-EP6318 | W | 20030616 |

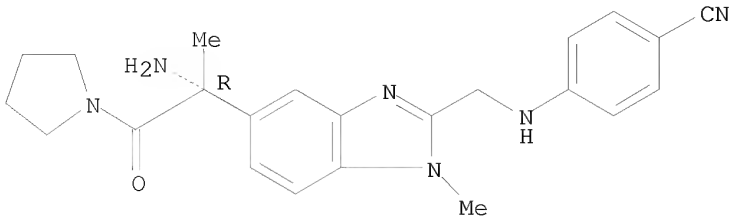
OTHER SOURCE(S): MARPAT 140:53413

AB The invention discloses the use of benzimidazole derivs. for producing a medicament used for treating the systemic inflammatory response syndrome and particularly sepsis. Preparation of (R)-2-(4-amidinophenylaminomethyl)-1-methyl-5-[1-(carboxymethylamino)-1-(pyrrolidinocarbonyl)ethyl]benzimidazole hydrochloride is described.

IT 253797-00-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(benzimidazole derivs. for treatment of systemic inflammatory response syndrome)

RN 253797-00-1 HCAPLUS
CN Pyrrolidine, 1-[(2R)-2-amino-2-[2-[[(4-cyanophenyl)amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:467997 HCAPLUS
DOCUMENT NUMBER: 135:61338
TITLE: Preparation of 2-(4-amidinophenylaminomethyl)benzimidazoles as antithrombotics
INVENTOR(S): Ries, Uwe; Kauffmann, Iris; Hauel, Norbert; Priepke, Henning; Nar, Herbert; Stassen, Jean Marie; Wienen, Wolfgang
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
SOURCE: Ger. Offen., 28 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 19962329 | A1 | 20010628 | DE 1999-19962329 | 19991223 |
| US 2001006977 | A1 | 20010705 | US 2000-735159 | 20001212 |
| US 6451832 | B2 | 20020917 | | |
| CA 2393916 | A1 | 20010705 | CA 2000-2393916 | 20001216 |
| WO 2001047896 | A1 | 20010705 | WO 2000-EP12841 | 20001216 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1244636 | A1 | 20021002 | EP 2000-983342 | 20001216 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2003519129 | T | 20030617 | JP 2001-549368 | 20001216 |
| MX 2002PA06299 | A | 20021209 | MX 2002-PA6299 | 20020621 |
| US 2003004356 | A1 | 20030102 | US 2002-188952 | 20020703 |
| US 6593355 | B2 | 20030715 | | |

| PRIORITY APPLN. INFO.: | | | |
|---|---|---|---|
| | DE 1999-19962329 | A | 19991223 |
| | US 2000-175163P | P | 20000107 |
| | US 2000-735159 | A1 | 20001212 |
| | WO 2000-EP12841 | W | 20001216 |

OTHER SOURCE(S): MARPAT 135:61338

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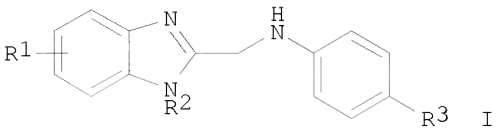


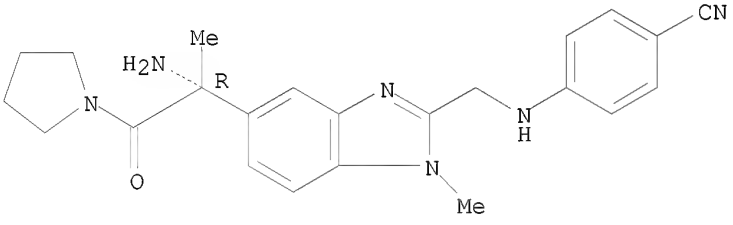
AB Title compds. [I; R1 = alkyl, fluoroalkyl, pyrrolidinocarbonylalkyl, 2,5-dihydropyrrolocarbonylalkyl, aminoalkyl, etc., R2 = alkyl; R3 = carbamidoyl, cyano, (substituted) oxadiazolyl, etc.] and stereoisomerics thereof were prepared .Thus, (R)-2-(4-amidinophenylaminomethyl)-1-methyl-5-[1-(ethoxycarbonylmethylamino)-1-[(R,S)-2-methylpyrrolidinocarbonyl]ethyl] benzimidazole dihydrochloride in H2O was stirred with NaOH for 45 min. at room temperature followed by addition of HCl up to pH = 3.5 to give 100% (R)-2-(4-amidinophenylaminomethyl)-1-methyl-5-[1-(carboxymethylamino)-1-[(R,S)-2-methylpyrrolidinocarbonyl]ethyl]benzimidazole dihydrochloride. Several I extended (doubled) the activated partial thromboplastin time (aPTT) with ED200 = 0.12-0.22 μM.

IT 253797-00-1P 345957-57-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amidinophenylaminomethylbenzimidazoles as antithrombotics)

RN 253797-00-1 HCAPLUS

CN Pyrrolidine, 1-[(2R)-2-amino-2-[2-[[(4-cyanophenyl)amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-1-oxopropyl]- (9CI) (CA INDEX NAME)

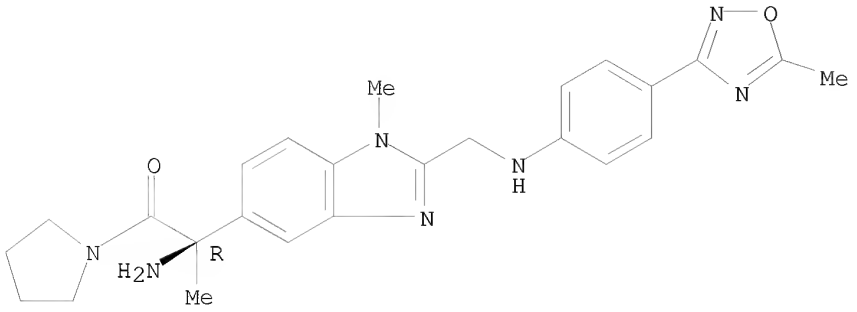
Absolute stereochemistry.



RN 345957-57-5 HCAPLUS

CN Pyrrolidine, 1-[(2R)-2-amino-2-[1-methyl-2-[[[4-(5-methyl-1,2,4-oxadiazol-3-yl)phenyl]amino]methyl]-1H-benzimidazol-5-yl]-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



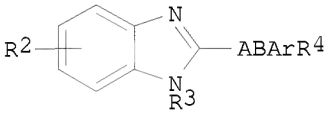

L12 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:34881 HCAPLUS
DOCUMENT NUMBER: 132:78556
TITLE: Preparation of amidinophenylaminomethylenzimidazoles as antithrombotics.
INVENTOR(S): Ries, Uwe; Kauffmann, Iris; Hauel, Norbert; Priepke, Henning; Nar, Herbert; Stassen, Jean Marie; Wienen, Wolfgang
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
SOURCE: PCT Int. Appl., 140 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2000001704 | A2 | 20000113 | WO 1999-EP4531 | 19990701 |
| WO 2000001704 | A3 | 20000406 | | |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| DE 19829964 | A1 | 20000105 | DE 1998-19829964 | 19980704 |
| DE 19857202 | A1 | 20000615 | DE 1998-19857202 | 19981211 |
| DE 19912690 | A1 | 20000921 | DE 1999-19912690 | 19990320 |
| CA 2337804 | A1 | 20000113 | CA 1999-2337804 | 19990701 |
| AU 9949033 | A | 20000124 | AU 1999-49033 | 19990701 |
| AU 763094 | B2 | 20030710 | | |
| BR 9911826 | A | 20010327 | BR 1999-11826 | 19990701 |
| EP 1095025 | A2 | 20010502 | EP 1999-932765 | 19990701 |
| EP 1095025 | B1 | 20021211 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| EE 200100009 | A | 20020617 | EE 2001-9 | 19990701 |
| EE 4236 | B1 | 20040216 | | |

| | | | | | | |
|---|---|---|---|---|---|---|
| JP 2002519429 | T | 20020702 | JP 2000-558106 | | | 19990701 |
| AT 229511 | T | 20021215 | AT 1999-932765 | | | 19990701 |
| NZ 509625 | A | 20030829 | NZ 1999-509625 | | | 19990701 |
| SK 283744 | B6 | 20031202 | SK 2001-8 | | | 19990701 |
| MX 2000PA12819 | A | 20040603 | MX 2000-PA12819 | | | 20001219 |
| IN 2000MN00760 | A | 20070615 | IN 2000-MN760 | | | 20001221 |
| NO 2001000028 | A | 20010103 | NO 2001-28 | | | 20010103 |
| BG 105111 | A | 20011231 | BG 2001-105111 | | | 20010103 |
| HR 2001000007 | A1 | 20011231 | HR 2001-7 | | | 20010103 |
| HR 2001000007 | B1 | 20030430 | | | | |
| HK 1036976 | A1 | 20041119 | HK 2001-107199 | | | 20011015 |
| PRIORITY APPLN. INFO.: | | | DE 1998-19829964 | A | | 19980704 |
| | | | DE 1998-19857202 | A | | 19981211 |
| | | | DE 1999-19912690 | A | | 19990320 |
| | | | WO 1999-EP4531 | W | | 19990701 |

OTHER SOURCE(S): MARPAT 132:78556

GI



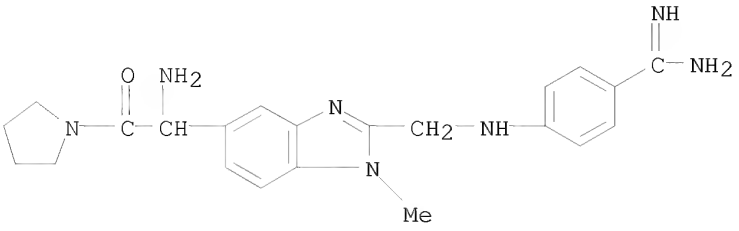

I

AB Title compds. [I; Ar = (substituted) phenylene, naphthylene, thienylene, thiazolylene, pyridinylene, pyrazinylene, pyrimidinylene, pyridazinylene; A = alkylene; B = O, S, CH2, CO, imino, SO, SO2; R2 = R1COX, etc.; R1 = alkoxy, amino, alkylamino, dialkylamino, etc.; X = cycloalkylene; R3 = H, alkyl; R4 = cyano, (substituted) amidino], were prepared Thus, 2-(4-amidinophenylaminomethyl)-1-methyl-5-[1-(pyrrolidin-1-ylcarbonyl)cyclopropyl]benzimidazole hydrochloride (multistep preparation given) showed aPTT (partial thrombin time) ED200 = 0.12 μM.

IT 253430-83-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amidinophenylaminomethylenzimidazoles as antithrombotics)

RN 253430-83-0 HCAPLUS

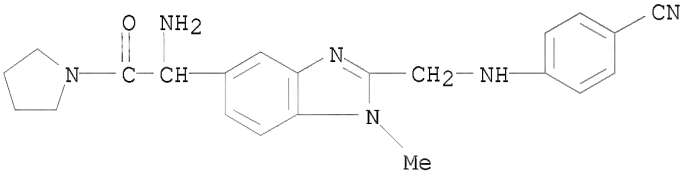
CN Pyrrolidine, 1-[amino[2-[[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]acetyl]-, monohydrochloride (9CI) (CA INDEX NAME)



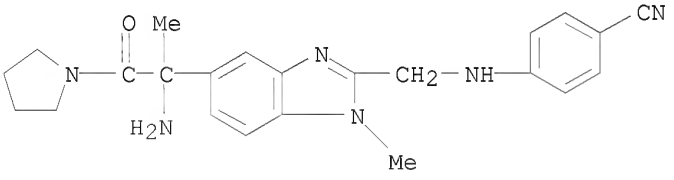
● HCl

IT 253431-62-8P 253431-65-1P 253796-87-1P
253797-00-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amidinophenylaminomethylenzimidazoles as antithrombotics)

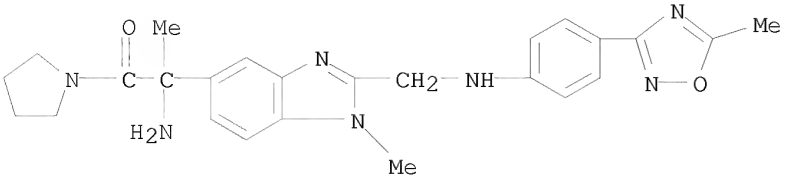
RN 253431-62-8 HCAPLUS
CN Pyrrolidine, 1-[amino[2-[[(4-cyanophenyl)amino]methyl]-1-methyl-1H-benzimidazol-5-yl]acetyl]- (9CI) (CA INDEX NAME)



RN 253431-65-1 HCAPLUS
CN Pyrrolidine, 1-[2-amino-2-[2-[[(4-cyanophenyl)amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-1-oxopropyl]- (9CI) (CA INDEX NAME)

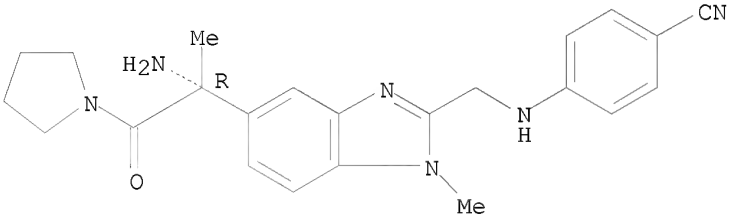


RN 253796-87-1 HCAPLUS
CN Pyrrolidine, 1-[2-amino-2-[1-methyl-2-[[[4-(5-methyl-1,2,4-oxadiazol-3-yl)phenyl]amino]methyl]-1H-benzimidazol-5-yl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



RN 253797-00-1 HCAPLUS
CN Pyrrolidine, 1-[(2R)-2-amino-2-[2-[[(4-cyanophenyl)amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:15648 HCAPLUS
DOCUMENT NUMBER: 132:64257
TITLE: Preparation of amidinophenylaminomethylbenzimidazoles and related compounds as antithrombotics.
INVENTOR(S): Ries, Uwe; Kauffmann, Iris; Hauel, Norbert; Priepke, Henning; Nar, Herbert; Stassen, Jean Marie; Wienen, Wolfgang
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
SOURCE: Ger. Offen., 38 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DE 19829964 | A1 | 20000105 | DE 1998-19829964 | 19980704 |
| US 6248770 | B1 | 20010619 | US 1999-338970 | 19990624 |
| TW 248435 | B | 20060201 | TW 1999-88110926 | 19990629 |
| CA 2337804 | A1 | 20000113 | CA 1999-2337804 | 19990701 |
| WO 2000001704 | A2 | 20000113 | WO 1999-EP4531 | 19990701 |
| WO 2000001704 | A3 | 20000406 | | |

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RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,

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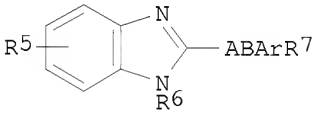
| | ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | | |
| AU | 9949033 | A | 20000124 | AU | 1999-49033 | 19990701 |
| AU | 763094 | B2 | 20030710 | | | |
| BR | 9911826 | A | 20010327 | BR | 1999-11826 | 19990701 |
| EP | 1095025 | A2 | 20010502 | EP | 1999-932765 | 19990701 |
| EP | 1095025 | B1 | 20021211 | | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | | |
| TR | 200100148 | T2 | 20010921 | TR | 2001-148 | 19990701 |
| EE | 200100009 | A | 20020617 | EE | 2001-9 | 19990701 |
| EE | 4236 | B1 | 20040216 | | | |
| HU | 2002000710 | A2 | 20020629 | HU | 2002-710 | 19990701 |
| HU | 2002000710 | A3 | 20030528 | | | |
| JP | 2002519429 | T | 20020702 | JP | 2000-558106 | 19990701 |
| AT | 229511 | T | 20021215 | AT | 1999-932765 | 19990701 |
| PT | 1095025 | T | 20030430 | PT | 1999-932765 | 19990701 |
| ES | 2188192 | T3 | 20030616 | ES | 1999-932765 | 19990701 |
| NZ | 509625 | A | 20030829 | NZ | 1999-509625 | 19990701 |
| SK | 283744 | B6 | 20031202 | SK | 2001-8 | 19990701 |
| ZA | 2000007624 | A | 20010716 | ZA | 2000-7624 | 20001219 |
| MX | 2000PA12819 | A | 20040603 | MX | 2000-PA12819 | 20001219 |
| IN | 2000MN00760 | A | 20070615 | IN | 2000-MN760 | 20001221 |
| NO | 2001000028 | A | 20010103 | NO | 2001-28 | 20010103 |
| BG | 105111 | A | 20011231 | BG | 2001-105111 | 20010103 |
| HR | 2001000007 | A1 | 20011231 | HR | 2001-7 | 20010103 |
| HR | 2001000007 | B1 | 20030430 | | | |
| HK | 1036976 | A1 | 20041119 | HK | 2001-107199 | 20011015 |
| PRIORITY APPLN. INFO.: | | | | DE | 1998-19829964 A | 19980704 |
| | | | | US | 1998-92215P P | 19980709 |
| | | | | DE | 1998-19857202 A | 19981211 |
| | | | | DE | 1999-19912690 A | 19990320 |
| | | | | WO | 1999-EP4531 W | 19990701 |

OTHER SOURCE(S): MARPAT 132:64257

GI



I

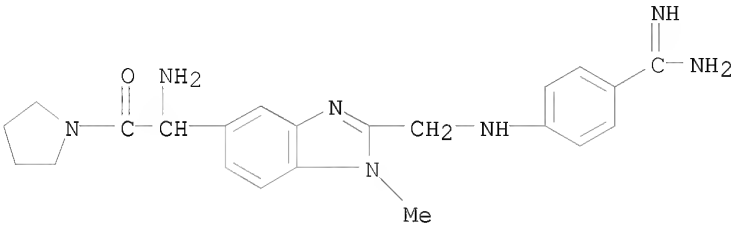
AB Title compds. [I; Ar = (substituted) phenylene, naphthylene, thienylene, thiazolylene, pyridinylene, etc.; A = alkylene; B = O, S, CH2, CO, imino, sulfinyl, sulfonyl, etc.; R5 = R1COX; X = cycloalkylene; R1 = (substituted) (di)alkylamino, cycloalkyleneimino, morpholino, piperazino; R5 = H, alkyl; R7 = cyano, (substituted) amidino], were prepared Thus, 2-(4-amidinophenylaminomethyl)-1-methyl-5-[1-(pyrrolidin-1-ylcarbonyl)cyclopropyl]benzimidazole hydrochloride [prepared starting from 1-(4-chlorophenyl)-1-cyclopropanecarboxylic acid] showed aPTT (partial thrombin time) ED200 = 0.12 μM.

IT 253430-83-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amidinophenylaminomethylbenzimidazoles and related compds. as antithrombotics)

RN 253430-83-0 HCAPLUS

CN Pyrrolidine, 1-[amino[2-[[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]acetyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

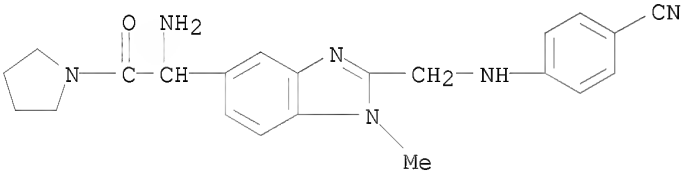
IT 253431-62-8P 253431-65-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of amidinophenylaminomethylbenzimidazoles and related compds. as antithrombotics)

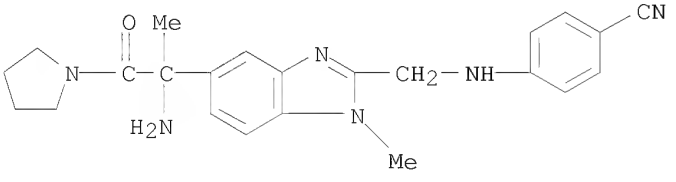
RN 253431-62-8 HCAPLUS

CN Pyrrolidine, 1-[amino[2-[[(4-cyanophenyl)amino]methyl]-1-methyl-1H-benzimidazol-5-yl]acetyl]- (9CI) (CA INDEX NAME)



RN 253431-65-1 HCAPLUS

CN Pyrrolidine, 1-[2-amino-2-[2-[[(4-cyanophenyl)amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



=> d l13 ibib abs hitstr tot

L13 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:2864 HCAPLUS
DOCUMENT NUMBER: 140:59935
TITLE: Preparation of crystalline (R)-2-(4-amidinophenylaminomethyl)-1-methyl-5-(1-(carboxymethylamino)-1(pyrrolidinocarbonyl)-ethyl)-benzimidazole esters and/or salts for use as antithrombotic agents
INVENTOR(S): Linz, Guenter; Sieger, Peter; Schreiner, Gunnar; Rall, Werner; Schmid, Rolf
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma Gmbh & Co. Kg, Germany
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004000818 | A1 | 20031231 | WO 2003-EP6317 | 20030616 <-- |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| DE 10227666 | A1 | 20040108 | DE 2002-10227666 | 20020620 |
| CA 2485545 | A1 | 20031231 | CA 2003-2485545 | 20030616 <-- |
| AU 2003237945 | A1 | 20040106 | AU 2003-237945 | 20030616 |
| EP 1529035 | A1 | 20050511 | EP 2003-735629 | 20030616 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| JP 2006508037 | T | 20060309 | JP 2004-514726 | 20030616 |
| US 2004010026 | A1 | 20040115 | US 2003-463033 | 20030617 |
| US 7169934 | B2 | 20070130 | | |
| US 2007099974 | A1 | 20070503 | US 2006-610187 | 20061213 |
| US 7294721 | B2 | 20071113 | | |

| PRIORITY APPLN. INFO.: | | |
|---|---|---|
| DE 2002-10227666 | A | 20020620 |
| US 2002-395188P | P | 20020711 |
| WO 2003-EP6317 | W | 20030616 |
| US 2003-463033 | A3 | 20030617 |

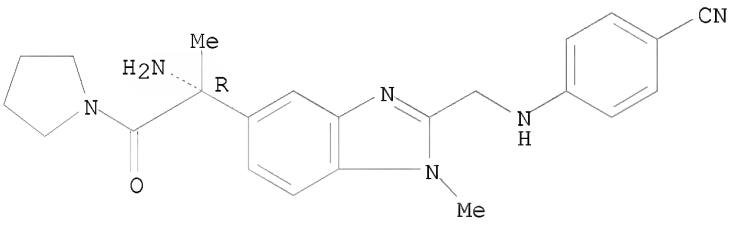
OTHER SOURCE(S): MARPAT 140:59935
AB The invention relates to the crystalline forms of compds. (R)-2-(4-amidinophenylaminomethyl)-1-methyl-5-(1-(carboxymethylamino)-1-(pyrrolidinocarbonyl)-ethyl)-benzimidazole and monohydrochloride thereof, to methods for the production thereof, and to their use as medicaments having an antithrombotic action (no data). Thus, (R)-2-(4-cyanophenylaminomethyl)-1-methyl-5-[1-amino-1-(pyrrolidineocarbonyl)-ethyl]benzimidazole was simultaneously N-alkylated and CN-reduced/aminated

using BrCH2C(O)OCH2CH2CH3 in N-methylpyyolidinone, Pr acetate, and diisopropyethylamine; the resulting ester product was first isolated as the hydrochloride, and then as the 4-toluenesulfonic acid salt, which was deesterified to give the free base. The Et ester was similarly prepared Crystal structure data were given for the free base and the monohydrochloride forms.

IT 253797-00-1
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation and x-ray crystallog. structure of 2-(4-amidinophenylaminomethyl))-1-methyl-5-(1-(carboxymethylamino)benzimidazole esters and/or salts for use as antithrombotic agents)

RN 253797-00-1 HCAPLUS
CN Pyrrolidine, 1-[(2R)-2-amino-2-[2-[[(4-cyanophenyl)amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:2693 HCAPLUS
DOCUMENT NUMBER: 140:53413
TITLE: Benzimidazole derivatives for the treatment of systemic inflammatory response syndrome
INVENTOR(S): Ries, Uwe; Wienen, Wolfgang; Schuly, Uwe
PATENT ASSIGNEE(S): Boehringer Ingelheim, Germany
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2004000310 | A1 | 20031231 | WO 2003-EP6318 | 20030616 <-- |

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

| | | | | |
|---|---|---|---|---|
| DE 10227668 | A1 | 20040108 | DE 2002-10227668 | 20020620 |
| CA 2489545 | A1 | 20031231 | CA 2003-2489545 | 20030616 <-- |
| AU 2003278945 | A1 | 20040106 | AU 2003-278945 | 20030616 |
| EP 1517687 | A1 | 20050330 | EP 2003-740255 | 20030616 |
| EP 1517687 | B1 | 20070620 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| JP 2006514603 | T | 20060511 | JP 2004-514727 | 20030616 |
| AT 365038 | T | 20070715 | AT 2003-740255 | 20030616 |
| ES 2289305 | T3 | 20080201 | ES 2003-740255 | 20030616 |
| US 2004023975 | A1 | 20040205 | US 2003-600055 | 20030620 |
| PRIORITY APPLN. INFO.: | | | DE 2002-10227668 A | 20020620 |
| | | | US 2002-400166P P | 20020801 |
| | | | WO 2003-EP6318 W | 20030616 |

OTHER SOURCE(S): MARPAT 140:53413

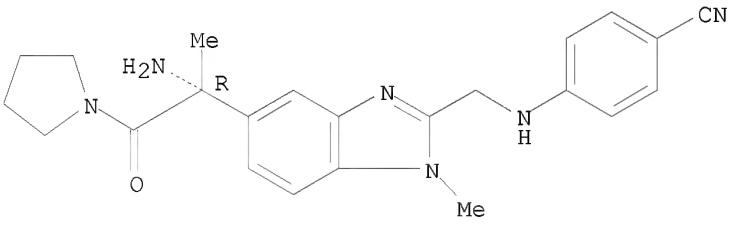
AB The invention discloses the use of benzimidazole derivs. for producing a medicament used for treating the systemic inflammatory response syndrome and particularly sepsis. Preparation of (R)-2-(4-amidinophenylaminomethyl)-1-methyl-5-[1-(carboxymethylamino)-1-(pyrrolidinocarbonyl)ethyl]benzimidazole hydrochloride is described.

IT 253797-00-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(benzimidazole derivs. for treatment of systemic inflammatory response syndrome)

RN 253797-00-1 HCAPLUS

CN Pyrrolidine, 1-[(2R)-2-amino-2-[2-[[(4-cyanophenyl)amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2008 ACS on STN

| | |
|---|---|
| ACCESSION NUMBER: | 2001:467997 HCAPLUS |
| DOCUMENT NUMBER: | 135:61338 |
| TITLE: | Preparation of 2-(4-amidinophenylaminomethyl)benzimidazoles as antithrombotics |
| INVENTOR(S): | Ries, Uwe; Kauffmann, Iris; Hauel, Norbert; Priepke, Henning; Nar, Herbert; Stassen, Jean Marie; Wienen, Wolfgang |
| PATENT ASSIGNEE(S): | Boehringer Ingelheim Pharma K.-G., Germany |
| SOURCE: | Ger. Offen., 28 pp.<br>CODEN: GWXXBX |
| DOCUMENT TYPE: | Patent |
| LANGUAGE: | German |

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| DE 19962329 | A1 | 20010628 | DE 1999-19962329 | 19991223 <-- |
| US 2001006977 | A1 | 20010705 | US 2000-735159 | 20001212 <-- |
| US 6451832 | B2 | 20020917 | | |
| CA 2393916 | A1 | 20010705 | CA 2000-2393916 | 20001216 <-- |
| WO 2001047896 | A1 | 20010705 | WO 2000-EP12841 | 20001216 <-- |
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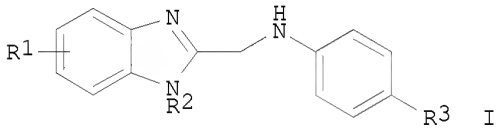
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1244636 | A1 | 20021002 | EP 2000-983342 | 20001216 <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| JP 2003519129 | T | 20030617 | JP 2001-549368 | 20001216 <-- |
| MX 2002PA06299 | A | 20021209 | MX 2002-PA6299 | 20020621 <-- |
| US 2003004356 | A1 | 20030102 | US 2002-188952 | 20020703 <-- |
| US 6593355 | B2 | 20030715 | | |

| PRIORITY APPLN. INFO.: | | |
|---|---|---|
| DE 1999-19962329 | A | 19991223 |
| US 2000-175163P | P | 20000107 |
| US 2000-735159 | A1 | 20001212 |
| WO 2000-EP12841 | W | 20001216 |

OTHER SOURCE(S): MARPAT 135:61338
GI



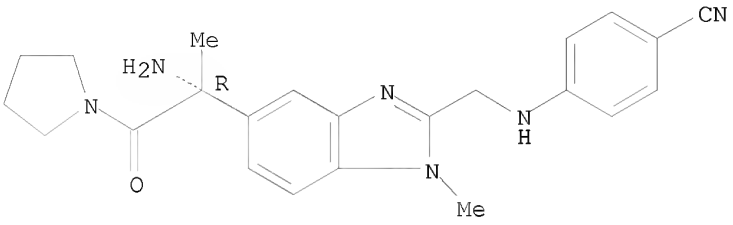
I

AB Title compds. [I; R1 = alkyl, fluoroalkyl, pyrrolidinocarbonylalkyl, 2,5-dihydropyrrolocarbonylalkyl, aminoalkyl, etc., R2 = alkyl; R3 = carbamidoyl, cyano, (substituted) oxadiazolyl, etc.] and stereoisomerics thereof were prepared .Thus, (R)-2-(4-amidinophenylaminomethyl)-1-methyl-5-[1-(ethoxycarbonylmethylamino)-1-[(R,S)-2-methylpyrrolidinocarbonyl]ethyl] benzimidazole dihydrochloride in H2O was stirred with NaOH for 45 min. at room temperature followed by addition of HCl up to pH = 3.5 to give 100% (R)-2-(4-amidinophenylaminomethyl)-1-methyl-5-[1-(carboxymethylamino)-1-[(R,S)-2-methylpyrrolidinocarbonyl]ethyl]benzimidazole dihydrochloride. Several I extended (doubled) the activated partial thromboplastin time (aPTT) with ED200 = 0.12-0.22 μM.

IT 253797-00-1P 345957-57-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amidinophenylaminomethylbenzimidazoles as antithrombotics)

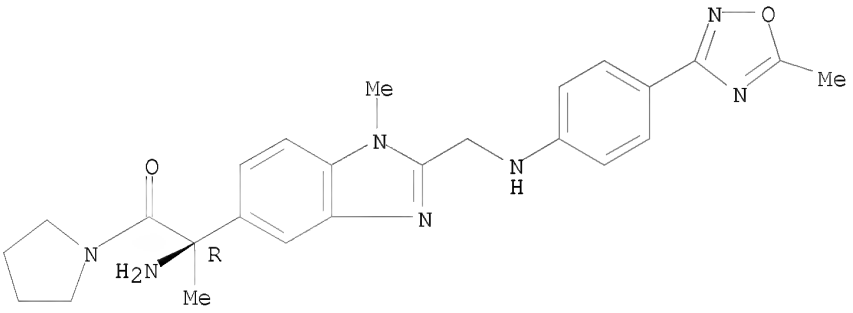
RN 253797-00-1 HCAPLUS
CN Pyrrolidine, 1-[(2R)-2-amino-2-[2-[[(4-cyanophenyl)amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




RN 345957-57-5 HCAPLUS
CN Pyrrolidine, 1-[(2R)-2-amino-2-[1-methyl-2-[[[4-(5-methyl-1,2,4-oxadiazol-3-yl)phenyl]amino]methyl]-1H-benzimidazol-5-yl]-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




L13 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:34881 HCAPLUS
DOCUMENT NUMBER: 132:78556
TITLE: Preparation of amidinophenylaminomethylenzimidazoles as antithrombotics.
INVENTOR(S): Ries, Uwe; Kauffmann, Iris; Hauel, Norbert; Priepke, Henning; Nar, Herbert; Stassen, Jean Marie; Wienen, Wolfgang
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
SOURCE: PCT Int. Appl., 140 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| WO 2000001704 | A2 | 20000113 | WO 1999-EP4531 | 19990701 <-- |

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| HK 1036976 | A1 | 20041119 | HK 2001-107199 | | 20011015 |
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OTHER SOURCE(S): MARPAT 132:78556

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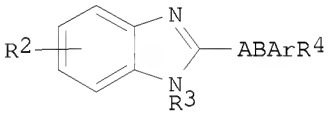


I

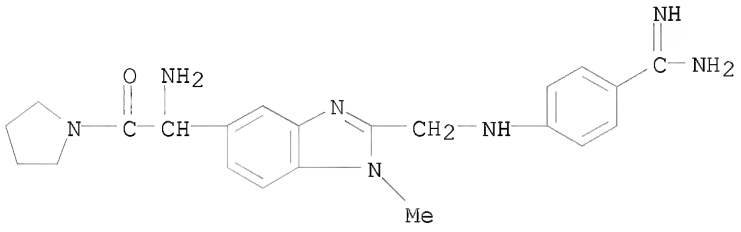
AB Title compds. [I; Ar = (substituted) phenylene, naphthylene, thienylene, thiazolylene, pyridinylene, pyrazinylene, pyrimidinylene, pyridazinylene; A = alkylene; B = O, S, CH2, CO, imino, SO, SO2; R2 = R1COX, etc.; R1 = alkoxy, amino, alkylamino, dialkylamino, etc.; X = cycloalkylene; R3 = H, alkyl; R4 = cyano, (substituted) amidino], were prepared Thus, 2-(4-amidinophenylaminomethyl)-1-methyl-5-[1-(pyrrolidin-1-ylcarbonyl)cyclopropyl]benzimidazole hydrochloride (multistep preparation given) showed aPTT (partial thrombin time) ED200 = 0.12 μM.

IT 253430-83-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amidinophenylaminomethylenzimidazoles as antithrombotics)

RN 253430-83-0 HCAPLUS

CN Pyrrolidine, 1-[amino[2-[[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]acetyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT 253431-62-8P 253431-65-1P 253796-87-1P
253797-00-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amidinophenylaminomethylenzimidazoles as antithrombotics)

RN 253431-62-8 HCAPLUS

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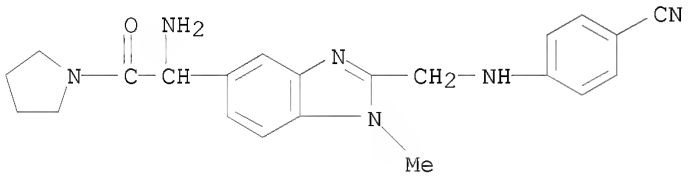

RN 253431-65-1 HCAPLUS

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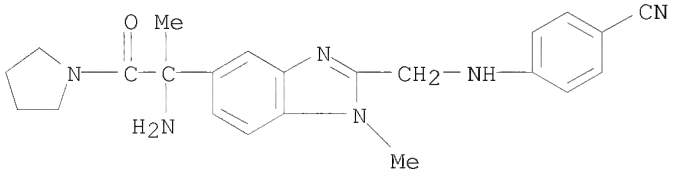
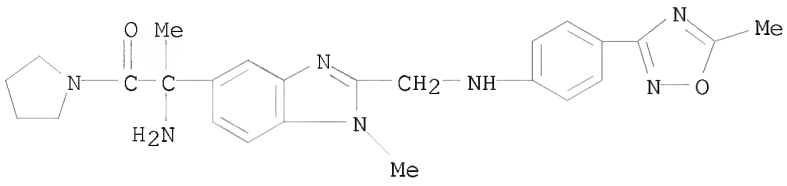
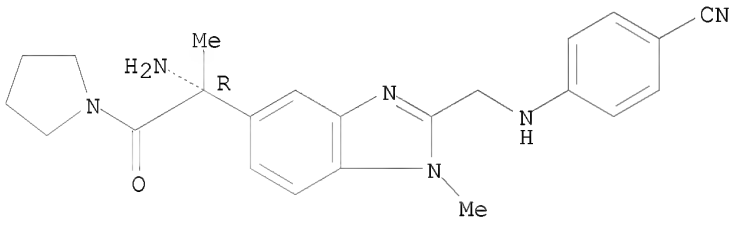


RN 253796-87-1 HCAPLUS
CN Pyrrolidine, 1-[2-amino-2-[1-methyl-2-[[[4-(5-methyl-1,2,4-oxadiazol-3-yl)phenyl]amino]methyl]-1H-benzimidazol-5-yl]-1-oxopropyl]- (9CI) (CA INDEX NAME)



RN 253797-00-1 HCAPLUS
CN Pyrrolidine, 1-[(2R)-2-amino-2-[2-[[(4-cyanophenyl)amino]methyl]-1-methyl-1H-benzimidazol-5-yl]-1-oxopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L13 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:15648 HCAPLUS
DOCUMENT NUMBER: 132:64257
TITLE: Preparation of amidinophenylaminomethylbenzimidazoles and related compounds as antithrombotics.
INVENTOR(S): Ries, Uwe; Kauffmann, Iris; Hauel, Norbert; Priepke, Henning; Nar, Herbert; Stassen, Jean Marie; Wienen, Wolfgang
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
SOURCE: Ger. Offen., 38 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| DE 19829964 | A1 | 20000105 | DE 1998-19829964 | 19980704 <-- |
| US 6248770 | B1 | 20010619 | US 1999-338970 | 19990624 <-- |
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RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

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| HR 2001000007 | A1 | 20011231 | HR 2001-7 | 20010103 | <-- |
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PRIORITY APPLN. INFO.:

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| DE 1998-19829964 | A | 19980704 |
| US 1998-92215P | P | 19980709 |
| DE 1998-19857202 | A | 19981211 |
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| WO 1999-EP4531 | W | 19990701 |

OTHER SOURCE(S): MARPAT 132:64257

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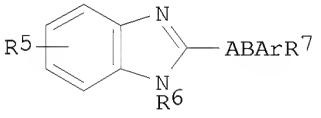


I

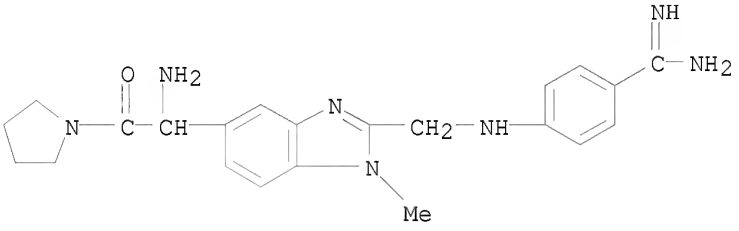
AB Title compds. [I; Ar = (substituted) phenylene, naphthylene, thienylene, thiazolylene, pyridinylene, etc.; A = alkylene; B = O, S, CH2, CO, imino, sulfinyl, sulfonyl, etc.; R5 = R1COX; X = cycloalkylene; R1 = (substituted) (di)alkylamino, cycloalkyleneimino, morpholino, piperazino; R5 = H, alkyl; R7 = cyano, (substituted) amidino], were prepared Thus, 2-(4-amidinophenylaminomethyl)-1-methyl-5-[1-(pyrrolidin-1-ylcarbonyl)cyclopropyl]benzimidazole hydrochloride [prepared starting from

1-(4-chlorophenyl)-1-cyclopropanecarboxylic acid] showed aPTT (partial thrombin time) ED200 = 0.12 μM.

IT 253430-83-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amidinophenylaminomethylbenzimidazoles and related compds. as antithrombotics)

RN 253430-83-0 HCAPLUS

CN Pyrrolidine, 1-[amino[2-[[[4-(aminoiminomethyl)phenyl]amino]methyl]-1-methyl-1H-benzimidazol-5-yl]acetyl]-, monohydrochloride (9CI) (CA INDEX NAME)

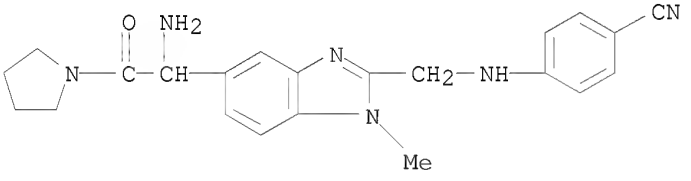


● HCl

IT 253431-62-8P 253431-65-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amidinophenylaminomethylbenzimidazoles and related compds. as antithrombotics)

RN 253431-62-8 HCAPLUS

CN Pyrrolidine, 1-[amino[2-[[(4-cyanophenyl)amino]methyl]-1-methyl-1H-benzimidazol-5-yl]acetyl]- (9CI) (CA INDEX NAME)



RN 253431-65-1 HCAPLUS

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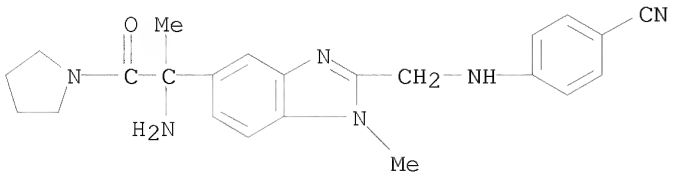

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L14 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:1156435 HCAPLUS
DOCUMENT NUMBER: 142:86665
TITLE: Cyclohexylglycine derivatives as dipeptidyl peptidase IV inhibitors for the treatment or prevention of diabetes and other dipeptidyl peptidase IV-associated diseases
INVENTOR(S): Edmondson, Scott D.; Mastracchio, Anthony; Parmee, Emma R.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
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| WO 2004112701 | A2 | 20041229 | WO 2004-US18718 | | 20040610 |
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| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | | |
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| CA 2527806 | A1 | 20041229 | CA 2004-2527806 | | 20040610 |
| EP 1638950 | A2 | 20060329 | EP 2004-755091 | | 20040610 |
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| PRIORITY APPLN. INFO.: | | | US 2003-479246P | P | 20030617 |
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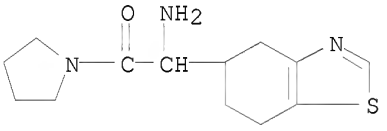
OTHER SOURCE(S): MARPAT 142:86665

AB The invention discloses cyclohexylglycine derivs. which are inhibitors of dipeptidyl peptidase IV which are useful in the treatment or prevention of diseases in which dipeptidyl peptidase IV is involved, such as diabetes and particularly type 2 diabetes. The invention also discloses pharmaceutical compns. comprising these compds. and the use of these compds. and compns. in the prevention or treatment of such diseases in which the dipeptidyl peptidase IV enzyme is involved. Preparation of compds. and intermediates is described.

IT 815580-74-6 815580-74-6D, derivs. 815580-75-7 815580-75-7D, derivs. 815580-76-8 815580-76-8D , derivs. 815580-77-9 815580-77-9D, derivs. 815580-79-1 815580-79-1D, derivs. 815580-80-4 815580-80-4D, derivs. 815580-87-1 815580-87-1D , derivs. 815580-88-2 815580-88-2D, derivs. 815580-89-3 815580-89-3D, derivs. 815580-90-6 815580-90-6D, derivs. 815580-91-7 815580-91-7D , derivs. 815580-92-8 815580-92-8D, derivs. 815580-93-9 815580-93-9D, derivs. 815580-94-0 815580-94-0D, derivs. 815580-95-1 815580-95-1D , derivs. 815580-96-2 815580-96-2D, derivs. 815580-97-3 815580-97-3D, derivs. 815580-98-4 815580-98-4D, derivs.
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(cyclohexylglycine derivs. as dipeptidyl peptidase IV inhibitors for treatment or prevention of diabetes and other dipeptidyl peptidase IV-associated diseases)

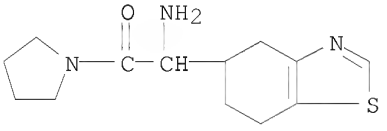
RN 815580-74-6 HCAPLUS

CN Pyrrolidine, 1-[amino(4,5,6,7-tetrahydro-5-benzothiazolyl)acetyl]- (9CI) (CA INDEX NAME)



RN 815580-74-6 HCAPLUS

CN Pyrrolidine, 1-[amino(4,5,6,7-tetrahydro-5-benzothiazolyl)acetyl]- (9CI) (CA INDEX NAME)



RN 815580-75-7 HCAPLUS

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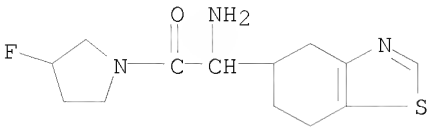

RN 815580-75-7 HCAPLUS
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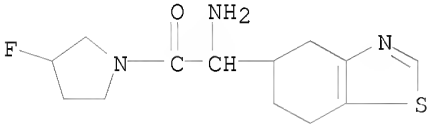

RN 815580-76-8 HCAPLUS
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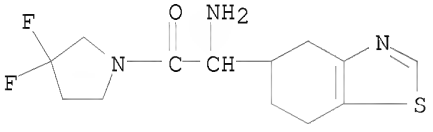

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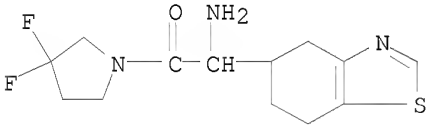
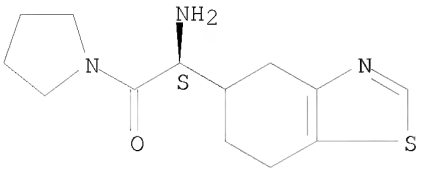


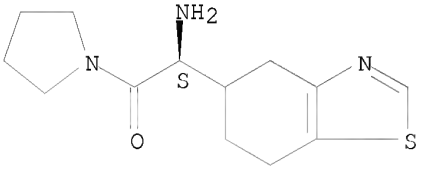
RN 815580-77-9 HCAPLUS
CN Pyrrolidine, 1-[(2S)-amino(4,5,6,7-tetrahydro-5-benzothiazolyl)acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



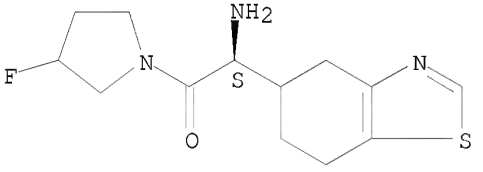
RN 815580-77-9 HCAPLUS
CN Pyrrolidine, 1-[(2S)-amino(4,5,6,7-tetrahydro-5-benzothiazolyl)acetyl]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



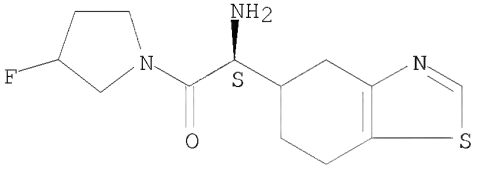
RN 815580-79-1 HCAPLUS
CN Pyrrolidine, 1-[(2S)-amino(4,5,6,7-tetrahydro-5-benzothiazolyl)acetyl]-3-
fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 815580-79-1 HCAPLUS
CN Pyrrolidine, 1-[(2S)-amino(4,5,6,7-tetrahydro-5-benzothiazolyl)acetyl]-3-
fluoro- (9CI) (CA INDEX NAME)

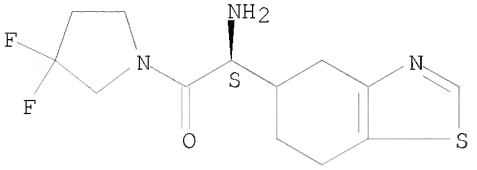
Absolute stereochemistry.



RN 815580-80-4 HCAPLUS
CN Pyrrolidine, 1-[(2S)-amino(4,5,6,7-tetrahydro-5-benzothiazolyl)acetyl]-3,3-

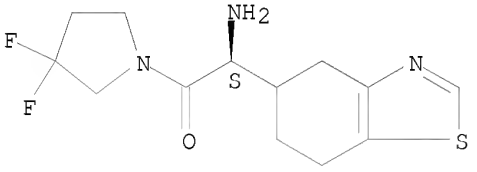
difluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

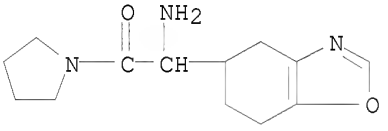


RN 815580-80-4 HCAPLUS
CN Pyrrolidine, 1-[(2S)-amino(4,5,6,7-tetrahydro-5-benzothiazolyl)acetyl]-3,3-difluoro- (9CI) (CA INDEX NAME)

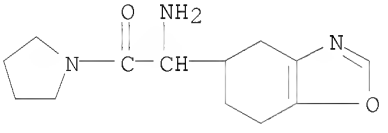
Absolute stereochemistry.



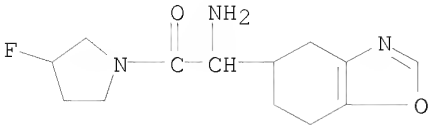
RN 815580-87-1 HCAPLUS
CN Pyrrolidine, 1-[amino(4,5,6,7-tetrahydro-5-benzoxazolyl)acetyl]- (9CI) (CA INDEX NAME)



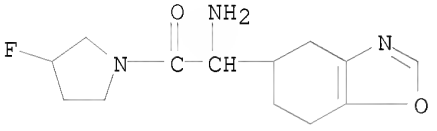
RN 815580-87-1 HCAPLUS
CN Pyrrolidine, 1-[amino(4,5,6,7-tetrahydro-5-benzoxazolyl)acetyl]- (9CI) (CA INDEX NAME)



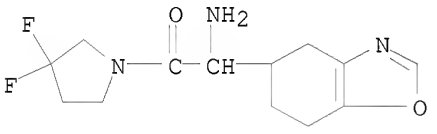
RN 815580-88-2 HCAPLUS
CN Pyrrolidine, 1-[amino(4,5,6,7-tetrahydro-5-benzoxazolyl)acetyl]-3-fluoro- (9CI) (CA INDEX NAME)



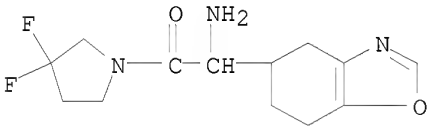
RN 815580-88-2 HCAPLUS
CN Pyrrolidine, 1-[amino(4,5,6,7-tetrahydro-5-benzoxazolyl)acetyl]-3-fluoro- (9CI) (CA INDEX NAME)



RN 815580-89-3 HCAPLUS
CN Pyrrolidine, 1-[amino(4,5,6,7-tetrahydro-5-benzoxazolyl)acetyl]-3,3-difluoro- (9CI) (CA INDEX NAME)

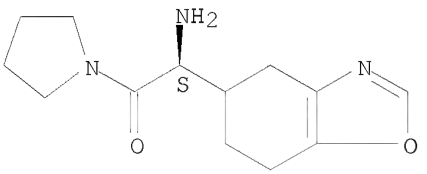


RN 815580-89-3 HCAPLUS
CN Pyrrolidine, 1-[amino(4,5,6,7-tetrahydro-5-benzoxazolyl)acetyl]-3,3-difluoro- (9CI) (CA INDEX NAME)



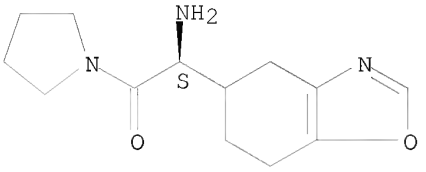
RN 815580-90-6 HCAPLUS
CN Pyrrolidine, 1-[(2S)-amino(4,5,6,7-tetrahydro-5-benzoxazolyl)acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



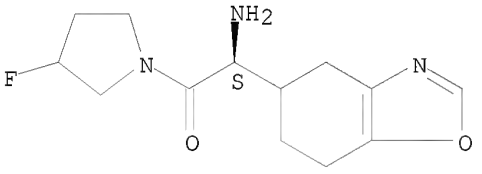
RN 815580-90-6 HCAPLUS
CN Pyrrolidine, 1-[(2S)-amino(4,5,6,7-tetrahydro-5-benzoxazolyl)acetyl]-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



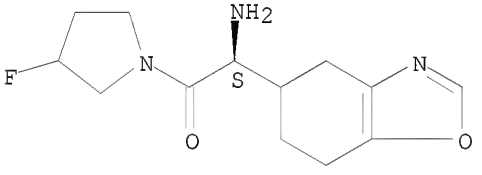

RN 815580-91-7 HCAPLUS
CN Pyrrolidine, 1-[(2S)-amino(4,5,6,7-tetrahydro-5-benzoxazolyl)acetyl]-3-
fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



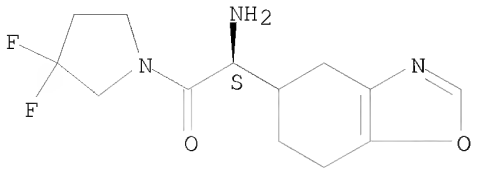

RN 815580-91-7 HCAPLUS
CN Pyrrolidine, 1-[(2S)-amino(4,5,6,7-tetrahydro-5-benzoxazolyl)acetyl]-3-
fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



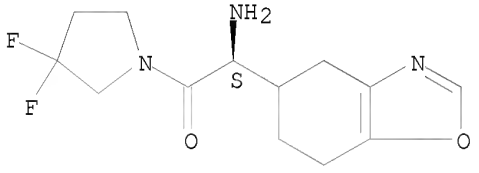

RN 815580-92-8 HCAPLUS
CN Pyrrolidine, 1-[(2S)-amino(4,5,6,7-tetrahydro-5-benzoxazolyl)acetyl]-3,3-
difluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

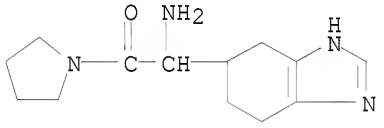


RN 815580-92-8 HCAPLUS
CN Pyrrolidine, 1-[(2S)-amino(4,5,6,7-tetrahydro-5-benzoxazolyl)acetyl]-3,3-difluoro- (9CI) (CA INDEX NAME)

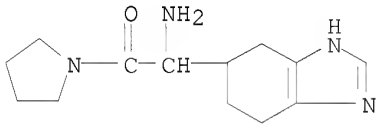
Absolute stereochemistry.



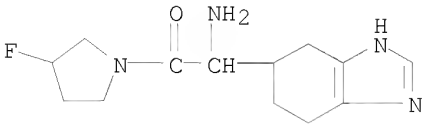
RN 815580-93-9 HCAPLUS
CN Pyrrolidine, 1-[amino(4,5,6,7-tetrahydro-1H-benzimidazol-5-yl)acetyl]-(9CI) (CA INDEX NAME)



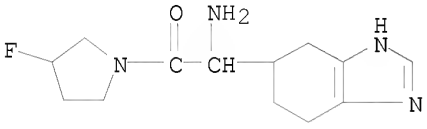
RN 815580-93-9 HCAPLUS
CN Pyrrolidine, 1-[amino(4,5,6,7-tetrahydro-1H-benzimidazol-5-yl)acetyl]-(9CI) (CA INDEX NAME)



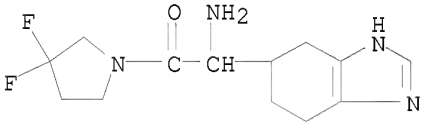
RN 815580-94-0 HCAPLUS
CN Pyrrolidine, 1-[amino(4,5,6,7-tetrahydro-1H-benzimidazol-5-yl)acetyl]-3-fluoro- (9CI) (CA INDEX NAME)



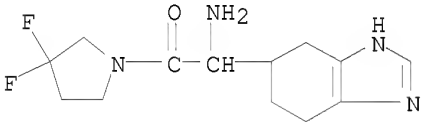
RN 815580-94-0 HCAPLUS
CN Pyrrolidine, 1-[amino(4,5,6,7-tetrahydro-1H-benzimidazol-5-yl)acetyl]-3-fluoro- (9CI) (CA INDEX NAME)



RN 815580-95-1 HCAPLUS
CN Pyrrolidine, 1-[amino(4,5,6,7-tetrahydro-1H-benzimidazol-5-yl)acetyl]-3,3-difluoro- (9CI) (CA INDEX NAME)

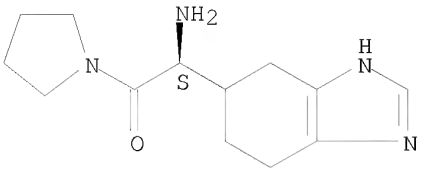


RN 815580-95-1 HCAPLUS
CN Pyrrolidine, 1-[amino(4,5,6,7-tetrahydro-1H-benzimidazol-5-yl)acetyl]-3,3-difluoro- (9CI) (CA INDEX NAME)



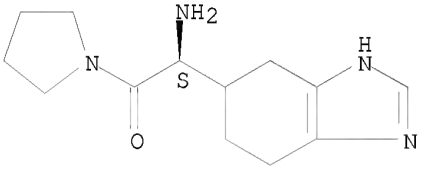
RN 815580-96-2 HCAPLUS
CN Pyrrolidine, 1-[(2S)-amino(4,5,6,7-tetrahydro-1H-benzimidazol-5-yl)acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



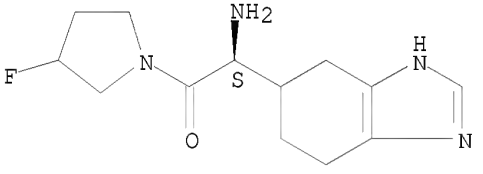
RN 815580-96-2 HCAPLUS
CN Pyrrolidine, 1-[(2S)-amino(4,5,6,7-tetrahydro-1H-benzimidazol-5-yl)acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



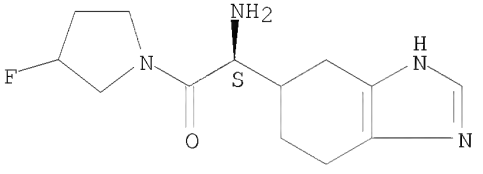
RN 815580-97-3 HCAPLUS
CN Pyrrolidine, 1-[(2S)-amino(4,5,6,7-tetrahydro-1H-benzimidazol-5-yl)acetyl]-3-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 815580-97-3 HCAPLUS
CN Pyrrolidine, 1-[(2S)-amino(4,5,6,7-tetrahydro-1H-benzimidazol-5-yl)acetyl]-3-fluoro- (9CI) (CA INDEX NAME)

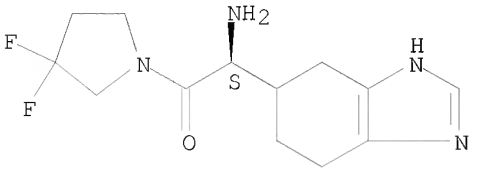
Absolute stereochemistry.



RN 815580-98-4 HCAPLUS
CN Pyrrolidine, 1-[(2S)-amino(4,5,6,7-tetrahydro-1H-benzimidazol-5-yl)acetyl]-

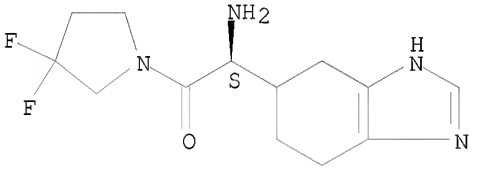
3,3-difluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.




RN 815580-98-4 HCAPLUS
CN Pyrrolidine, 1-[(2S)-amino(4,5,6,7-tetrahydro-1H-benzimidazol-5-yl)acetyl]-3,3-difluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 81.54 | 671.51 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
| CA SUBSCRIBER PRICE | -9.60 | -13.60 |

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